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NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4	Apr 09	ZDB will be removed from STN
NEWS 5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 9	Jun 03	New e-mail delivery for search results now available
NEWS 10	Jun 10	MEDLINE Reload
NEWS 11	Jun 10	PCTFULL has been reloaded
NEWS 12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS 14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS 15	Jul 30	NETFIRST to be removed from STN
NEWS 16	Aug 08	CANCERLIT reload
NEWS 17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18	Aug 08	NTIS has been reloaded and enhanced
NEWS 19	Aug 09	JAPIO to be reloaded August 18, 2002

NEWS EXPRESS	February 1 CURRENT WINDOWS VERSION IS V6.0d, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
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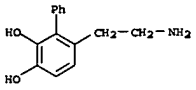
L4 ANSWER 67 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

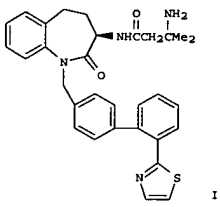


L4 ANSWER 68 OF 111 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:494379 CAPLUS
DOCUMENT NUMBER: 122:239557
TITLE: Benzo-fused lactams promote release of growth hormone
INVENTOR(S): Bochis, Richard J.; Fisher, Michael H.; Devita, Robert J.; Schoen, William R.; Wyvratt, Matthew J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 241 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9407486	A1	19940414	WO 1993-US8870	19930916
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5583130	A	19961210	US 1992-951681	19920925
EP 665748	A1	19950809	EP 1993-922255	19930916
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08502251	T2	19960312	JP 1993-509115	19930916
AU 676210	B2	19970306	AU 1993-51322	19930916
ZA 9307082	A	19940422	ZA 1993-7082	19930924
PRIORITY APPLN. INFO.:			US 1992-951681	19920925
			WO 1993-US8870	19930916

OTHER SOURCE(S): MARPAT 122:239557
GI



I

AB Benzo-fused lactams were disclosed as compds. which promote the release of growth hormone in humans and animals. This property can be used to promote the growth of food animals to render the prodn. of edible meat products more efficient, and in humans, to increase the stature of those

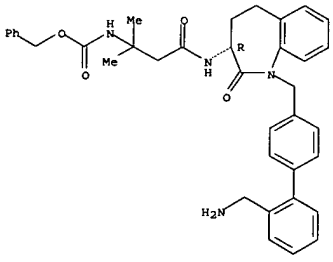
L4 ANSWER 68 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

afflicted with a lack of a normal secretion of natural growth hormone. Growth-promoting compns. contg. such benzo-fused lactams as the active ingredient thereof were disclosed. A specific example compd. (R)-2-amino-2-methyl-N-[2,3,4,5-tetrahydro-2-oxo-1-[[2-(1H-imidazol-2-yl)[1,1'-biphenyl]-4-yl]methyl]-1H-1-benzazepin-3-yl]butanamide (I) was claimed.

IT **162356-95-8P 162356-96-9P 162357-09-7P 162357-10-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of benzo-fused lactams as animal growth regulators)

RN 162356-95-8 CAPLUS
CN Carbamic acid, [3-[[1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-3-yl]amino]-1,1-dimethyl-3-oxopropyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



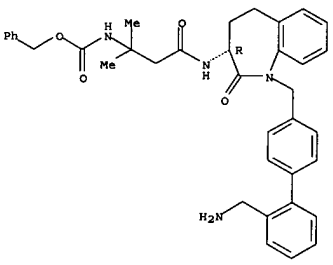
RN 162356-96-9 CAPLUS
CN Carbamic acid, [3-[[(3R)-1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-3-yl]amino]-1,1-dimethyl-3-oxopropyl]-, phenylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 162356-95-8
CMF C37 H40 N4 O4
CDES 1:R

Absolute stereochemistry.

L4 ANSWER 68 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

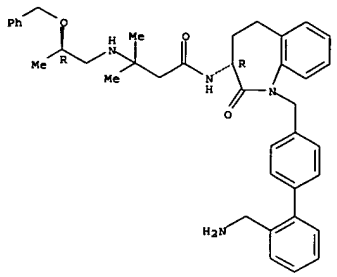
CRN 76-05-1
CMF C2 H F3 O2

RN 162357-09-7 CAPLUS
CN Butanamide, N-[1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-3-yl]-3-methyl-3-[[2-(phenylmethoxy)propyl]amino]-, dihydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 68 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A



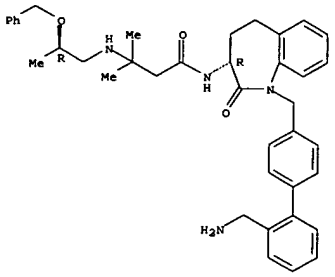
PAGE 2-A

●2 HCl

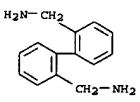
RN 162357-10-0 CAPLUS
CN Butanamide, N-[1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-3-yl]-3-methyl-3-[(2-(phenylmethoxy)propyl]amino]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

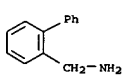
L4 ANSWER 68 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



L4 ANSWER 69 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:680623 CAPLUS
DOCUMENT NUMBER: 121:280623
TITLE: Photoionophores derived from crown ether polycarboxylic acids: synthesis, ion binding, and spectroscopic characterization
AUTHOR(S): Fyles, Thomas M.; Suresh, Valia Veettil
CORPORATE SOURCE: Dep. Chem., Univ. Victoria, Victoria, BC, V8W 3P6, Can.
SOURCE: Can. J. Chem. (1994), 72(5), 1246-53
CODEN: CJCHAG; ISSN: 0008-4042
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Three types of potential photoionophores based on polycarboxylic acid crown ethers were prepd., and their cation complexation behaviors and spectroscopic properties were surveyed. The first type were neutral macropolycyclic hosts prepd. by capping across the faces of the crown ether with arom. diamine chromophores. The second were bis-crown ether carboxylates bearing a bridging arom. chromophore. The third type appended an addnl. chromophore-donor site on the crown ether carboxylic acid framework. Cation complexation was examd. by potentiometric titrn. The neutral ligands were rather poor hosts for alkali metal cations. The other two types of crown ether carboxylates showed a combination of size selectivity and electrostatic stabilization, leading to significant and selective ion binding in water. Ligands of the third type also exhibited cation-dependent absorption spectra in neutral and basic aq. soln. No significant alkali metal or alk. earth cation-induced perturbation of the emission spectra was uncovered, but a sodium- and cesium-dependent long wavelength emission enhancement was obsd. in one of the neutral ligand systems.
IT **70898-14-5P**, [1,1'-Biphenyl]-2,2'-dimethanamine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(reaction with tetraacid chloride in synthesis of photoionophores based on polycarboxylic acid crown ethers)
RN 70898-14-5 CAPLUS
CN [1,1'-Biphenyl]-2,2'-dimethanamine (9CI) (CA INDEX NAME)



L4 ANSWER 70 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:569301 CAPLUS
DOCUMENT NUMBER: 121:169301
TITLE: Factors affecting retention of basic solutes in ion-exclusion chromatography using an anion-exchange column
AUTHOR(S): Haddad, Paul R.; Hao, Fuping; Glod, Bronislaw K.
CORPORATE SOURCE: Department of Chemistry, University of Tasmania, GPO Box 252C, Hobart, Tasmania, 7001, Australia
SOURCE: J. Chromatogr., A (1994), 671(1-2), 3-9
CODEN: JCRAEY
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Retention vols. were measured for a variety of inorg. and org. (both aliph. and arom.) bases on a quaternary ammonium functionalized styrene-divinylbenzene stationary phase using dil. NaOH as eluent. The retention behavior of the inorg. bases and some of the aliph. bases could be explained from ion-exclusion effects alone, with strong bases (which are cationic at the eluent pH) being co-eluted at the column void vol. and very weak bases (which are neutral at the eluent pH) being co-eluted at the sum of the column void and inner vols. Solutes intermediate between these extremes were eluted in order of increasing pKb1 and their retention could be varied by changing the eluent pH. A mixed retention mechanism involving hydrophobic adsorption and steric effects was obsd. for other aliph. amines. Arom. amines are retained almost solely by a reversed-phase mechanism involving interaction of the solute with the unfunctionalized regions of the stationary phase. For such solutes, retention could be manipulated most easily by addn. of MeCN to the eluent.
IT **1924-77-2**, 2-Phenylbenzylamine
RL: ANST (Analytical study)
(ion-exclusion chromatog. of, on quaternary ammonium functionalized divinylbenzene-styrene copolymer stationary phase)
RN 1924-77-2 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine (9CI) (CA INDEX NAME)



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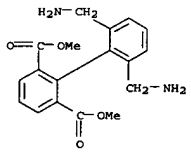
L4 ANSWER 48 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:169083 CAPLUS
DOCUMENT NUMBER: 128:244035
TITLE: Axially chiral dilactams. Synthesis, racemization barriers and crystal structures
AUTHOR(S): Tichy, Milos; Ridvan, Ludek; Holy, Petr; Zavada, Jiri;
Cisarova, Ivana; Podlaha, Jaroslav
CORPORATE SOURCE: Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic, Prague, 166
10, Czech Rep.
SOURCE: Tetrahedron: Asymmetry (1998), 9(2), 227-234
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The racemic as well as optically active dilactams 1 and 2 were prepd. as the first representatives of axially chiral dilactams possessing a biaryl axis as the sole element of chirality. Their abs. configurations and inversion barriers were detd. The mol. structure and supramol. self-assembly of the racemic dilactams directed by hydrogen bonding and aryl-aryl stacking was elucidated by single crystal diffraction anal.

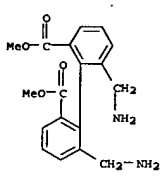
IT **204654-52-4P 204708-39-4P 204858-62-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(axially chiral dilactams. synthesis racemization barriers)

RN 204654-52-4 CAPLUS
CN [1,1'-Biphenyl]-2,6-dicarboxylic acid, 2',6'-bis(aminomethyl)-, dimethyl ester (9CI) (CA INDEX NAME)



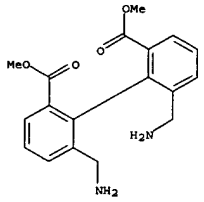
RN 204708-39-4 CAPLUS
CN [1,1'-Biphenyl]-2,2'-dicarboxylic acid, 6,6'-bis(aminomethyl)-, dimethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 48 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



●2 HCl

RN 204858-62-8 CAPLUS
CN [1,1'-Biphenyl]-2,2'-dicarboxylic acid, 6,6'-bis(aminomethyl)-, dimethyl ester, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

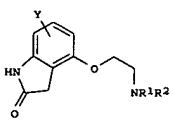


●2 HCl

L4 ANSWER 49 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:163567 CAPLUS
DOCUMENT NUMBER: 128:204807
TITLE: Preparation of 4-(aminoethoxy)indolone derivatives as inhibitors of dopamine synthesis and release
INVENTOR(S): Mewshaw, Richard Eric
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9808819	A1	19980305	WO 1997-US14950	19970826
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9740888	A1	19980319	AU 1997-40888	19970826
EP 923551	A1	19990623	EP 1997-938596	19970826
EP 923551	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
CN 1234024	A	19991103	CN 1997-198979	19970826
JP 2000516959	T2	20001219	JP 1998-511803	19970826
AT 218548	E	20020615	AT 1997-938596	19970826
KR 2000035818	A	20000626	KR 1999-701466	19990224

PRIORITY APPLN. INFO.: US 1996-703610 A 19960827
WO 1997-US14950 W 19970826
OTHER SOURCE(S): MARPAT 128:204807
GI



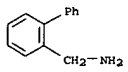
I

AB The title compds. I [Y = hydrogen, halo, lower alkoxy; R1 = hydrogen, lower alkyl, aryl(lower)alkyl; R2 = hydrogen, lower alkyl, (CH2)nXpAr where X is oxygen or carbonyl and Ar is cycloalkyl, aryl, arylaryl, oxindolyl, benzimidazolyl, indolyl, 2-oxobenzimidazolyl, 2-thioxobenzimidazolyl; R1 and R2 taken together with the nitrogen atom to which they are attached complete a 3,4-dihydro-1H-isoquinolinyl or 1,3-dihydroisoindolyl ring; n = 1, 2, 3, 4, 5, 6; p = 0, 1], inhibitors of

L4 ANSWER 49 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
dopamine synthesis and release and useful in the treatment of schizophrenia, Parkinson's Disease, Tourette's Syndrome, alc. addiction, cocaine addiction, and addiction to analogous drugs, were prepd. E.g., heating a mixt. of N-benzyl-N-[2-(3-chloro-1H-indol-4-yloxy)ethyl]carbamic acid tert-Bu ester in MeOCH2CH2OH contg. H3PO4 gave 4-(2-benzylaminoethoxy)-1,3-dihydroindol-2-one. I showed high affinity for the dopamine D-2 receptor.

IT **1924-77-2**, [1,1'-Biphenyl]-2-methanamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of (aminoethoxy)indolone derivs. as D2 agonists)

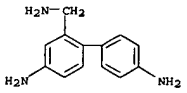
RN 1924-77-2 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine (9CI) (CA INDEX NAME)



L4 ANSWER 50 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:745938 CAPLUS
DOCUMENT NUMBER: 128:29690
TITLE: Organometallic ligands for the localization and quantification of amyloid in vivo and in vitro
INVENTOR(S): Lansbury, Peter T., Jr.; Han, Hogyu; Cho, Cheon-gyu; Zhen, Weiguo; Harper, James D.; Davison, Alan
PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA; Brigham and Women's Hospital, Inc.
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741856	A1	19971113	WO 1997-US7792	19970507
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6054114	A	20000425	US 1997-852825	19970507

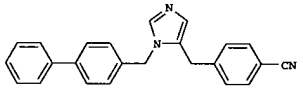
PRIORITY APPLN. INFO.: US 1996-16599P P 19960508
US 1997-38999P P 19970225
OTHER SOURCE(S): MARPAT 128:29690
AB Novel transition metal complexes, in particular 99Tc complexes, with azo dye derivs. providing a Nx or Ny,Sz donor set for binding amyloid are described. Methods using such compds. for detg. by imaging the localization or quantification of amyloid fibrils in a mammal, for diagnosing the degree of progression of Alzheimer's disease in a mammal, for monitoring the response to therapy in a mammal having Alzheimer's disease, for identifying an agent useful for treating Alzheimer's disease, for treating Alzheimer's disease, and for detecting the presence of the infectious form of the prion protein, are also described.
IT **199273-19-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(for prepn. of technetium complexes with ligands contg. bisazo linkers)
RN 199273-19-3 CAPLUS
CN [1,1'-Biphenyl]-4,4'-diamine, 2-(aminomethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 51 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:679063 CAPLUS
DOCUMENT NUMBER: 127:346394
TITLE: Biphenyl-substituted imidazoles useful as inhibitors of farnesyl-protein transferase
INVENTOR(S): Anthony, Neville J.; Stokker, Gerald E.; Gomez, Robert P.; Solinsky, Kelly M.; Wai, John S.; Williams, Theresa M.; Young, Steven D.; Hutchinson, John H.; Halczenko, Wasyl; et al.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Anthony, Neville J.; Stokker, Gerald E.; Gomez, Robert P.; Solinsky, Kelly M.; Wai, John S.; Williams, Theresa M.; Young, Steven D.
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9736875	A1	19971009	WO 1997-US5383	19970401
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2250231	AA	19971009	CA 1997-2250231	19970401
AU 9724325	A1	19971022	AU 1997-24325	19970401
AU 716123	B2	20000217		
EP 891333	A1	19990120	EP 1997-920031	19970401
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000504024	T2	20000404	JP 1997-535553	19970401
US 6080870	A	20000627	US 1998-155663	19981001

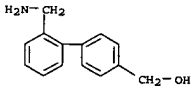
PRIORITY APPLN. INFO.: US 1996-14592P P 19960403
GB 1996-13462 A 19960627
US 1996-22582P P 19960724
GB 1996-17257 A 19960816
WO 1997-US5383 W 19970401
OTHER SOURCE(S): MARPAT 127:346394
GI



I

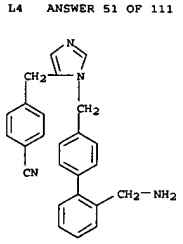
L4 ANSWER 51 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

AB The invention is directed to compds. which inhibit farnesyl-protein transferase (FPTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compns. contg. the invention compds., and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras. Approx. 80 invention compds. (mostly salts) were prepd. in examples, and their free bases are specifically claimed. For instance, coupling of p-BrCH2C6H4CN with 4-iodo-1-tritylimidazole using Zn dust and Ni(PPh3)2Cl2 catalyst, followed by N-alkylation with 4-(chloromethyl)biphenyl in MeCN, methanolysis, and acidification with HCl in aq. MeCN, gave title compd. I as the HCl salt. In an in vitro assay for inhibition of human FTPase, the first 31 example compds. had IC50 values of .ltoreq. 50 .mu.M. Methods of treating or preventing a variety of conditions using the compds. are claimed.
IT **198205-99-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of biphenyl imidazole derivs. as inhibitors of farnesyl-protein transferase)
RN 198205-99-1 CAPLUS
CN [1,1'-Biphenyl]-4-methanol, 2'-(aminomethyl)- (9CI) (CA INDEX NAME)



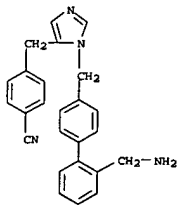
IT **198204-51-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of biphenyl imidazole derivs. as inhibitors of farnesyl-protein transferase)
RN 198204-51-2 CAPLUS
CN Benzonitrile, 4-[[1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-1H-imidazol-5-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 51 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

IT **198205-59-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of biphenyl imidazole derivs. as inhibitors of farnesyl-protein transferase)
RN 198205-59-3 CAPLUS
CN Benzonitrile, 4-[[1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-1H-imidazol-5-yl]methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 52 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:640247 CAPLUS
DOCUMENT NUMBER: 127:318890
TITLE: Benzo-fused lactams promoting release of growth hormone
INVENTOR(S): Wyvratt, Matthew; Devita, Robert; Bochis, Richard; Schoen, William
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 76 pp., Cont.-in-part of U.S. 5,283,241.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5672596	A	19970930	US 1995-392961	19950418
US 5283241	A	19940201	US 1992-936975	19920828
WO 9405634	A1	19940317	WO 1993-US7791	19930818
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5968924	A	19991019	US 1997-820302	19970318

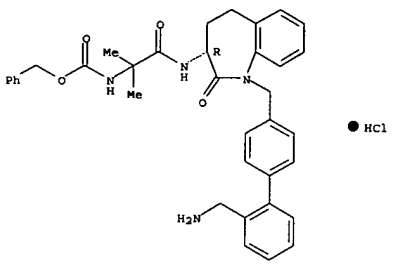
PRIORITY APPLN. INFO.: US 1992-936975 A2 19920828
WO 1993-US7791 W 19930818
US 1995-392961 A3 19950418
OTHER SOURCE(S): MARPAT 127:318890
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB There are disclosed certain novel benzo-fused lactams I [Ar = (un)substituted Ph; L = (un)substituted C6H4; m = 0, 1; p = 0-3; q = 0-4; X = bond, CO, O, S, S(O), S(O)2, CH(OH), (un)substituted NH, CH:CH; R1, R2 = H, halo, alkyl, perfluoroalkyl, cyano, NO2, (un)substituted Ph, etc.; R4, R5 = (un)substituted alk(en/yn)yl or Ph; or R4R5 = alkylene chain with optional heteroat. interruptions; R6 = H, alkyl, Ph, or phenylalkyl; A = alkylene chain with optional substituents or spirocyclic alkylene fusion]. The compds. promote the release of growth hormone in humans and animals (no data). This property can be utilized to promote the growth of food animals to render the prodn. of edible meat products more efficient, and in humans, to increase the stature of those afflicted with a lack of a normal secretion of natural growth hormone. Growth promoting compns. contg. I as active ingredients are also disclosed. Approx. 60 synthetic examples with characterizing phys. data are given. For instance, amidation of 3(R)-amino-2,3,4,5-tetrahydro-1H-1-benzazepin-2-one with 3-[(tert-butoxycarbonyl)amino]-3-methylbutanoic acid (prepns. given) using

L4 ANSWER 52 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
the reagents BOP and Et3N (94%), followed by N-alkylation in the 1-position with 4-(bromomethyl)-2'-[(methoxycarbonyl)amino]-1,1'-biphenyl using NaH in DMF (63%), and deprotection with CF3CO2H (96%), gave title compd. II as the trifluoroacetate salt.
IT **195248-07-8P 197652-11-2P 197652-38-3P**
197652-51-0P 197652-59-8P, 2'-(2-Aminoethyl)-1,1'-biphenyl-4-methanol **197652-73-6P 197652-76-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of benzo-fused lactams as growth hormone release promoters)
RN 195248-07-8 CAPLUS
CN Carbamic acid, [2-[[(3R)-1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-3-yl]amino]-1,1-dimethyl-2-oxoethyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



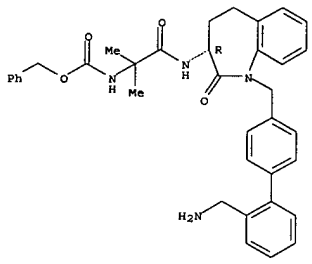
RN 197652-11-2 CAPLUS
CN Carbamic acid, [2-[[(3R)-1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-3-yl]amino]-1,1-dimethyl-2-oxoethyl]-, phenylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 197652-10-1
CMF C36 H38 N4 O4

Absolute stereochemistry.

L4 ANSWER 52 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



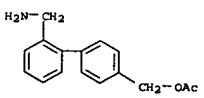
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 197652-38-3 CAPLUS
CN [1,1'-Biphenyl]-4-methanol, 2'-(aminomethyl)-, acetate (ester), trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 197652-37-2
CMF C16 H17 N O2

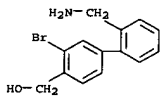


CM 2

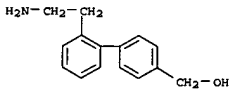
CRN 76-05-1
CMF C2 H F3 O2

L4 ANSWER 52 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 197652-51-0 CAPLUS
CN [1,1'-Biphenyl]-4-methanol, 2'-(aminomethyl)-3-bromo- (9CI) (CA INDEX NAME)



RN 197652-59-8 CAPLUS
CN [1,1'-Biphenyl]-4-methanol, 2'-(2-aminoethyl)- (9CI) (CA INDEX NAME)



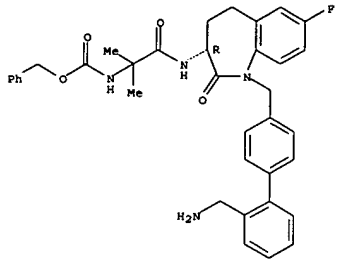
RN 197652-73-6 CAPLUS
CN Carbamic acid, [2-[[1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-7-fluoro-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-3-yl]amino]-1,1-dimethyl-2-oxoethyl]-, phenylmethyl ester, (R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 197652-72-5
CMF C36 H37 F N4 O4

Absolute stereochemistry.

L4 ANSWER 52 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



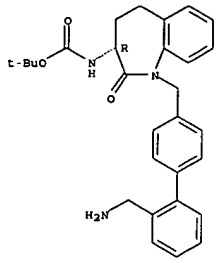
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 197652-76-9 CAPLUS
CN Carbamic acid, [1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-3-yl]-, 1,1-dimethylethyl ester, (R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 52 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

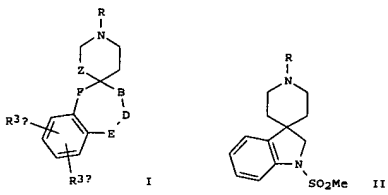


L4 ANSWER 53 OF 111 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:633307 CAPLUS
DOCUMENT NUMBER: 127:234319
TITLE: Preparation of aminoalkanoyl spiropiperidides and analogs as growth hormone-release stimulators
INVENTOR(S): Smith, Roy G.; Gormley, Glenn J.; Polvino, William J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Brit. UK Pat. Appl., 107 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2308064	A1	19970618	GB 1996-22440	19961029
PRIORITY APPLN. INFO.:			US 1995-8133	19951031
			GB 1996-9696	19960509

OTHER SOURCE(S): MARPAT 127:234319
GI

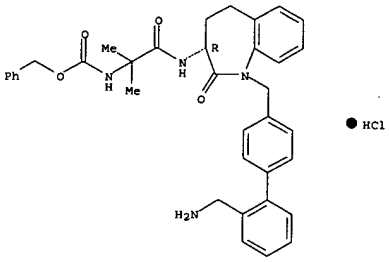


AB Title compds. [e.g., I; B, D, E, F = bond, CR8R10, O, CO, SO0-2, NR9; 1 of BD or DE may = N:CR10 or CR10:N and the other of B, E, F = O, SO0-2, NR9; R = COCR1R2NR6COZ1NR4R5; R1 = (alkoxy)alkyl, aryl(alkyl), etc.; R2 = H, (cyclo)alkyl, etc.; R3a,R3b = H, halo, alkyl, alkoxy, etc.; R4,R5 = H, alkyl, etc.; NR4R5 = heterocyclyl; R6 = H or alkyl; R8,R10 = H, groups cited for R2, OR2, aryl(alkyl), etc.; R9 = groups cited for R2, aryl(alkyl), COR2, etc.; Z = CH2 or CH2CH2; Z1 = (un)substituted (imino- or oxy-) alkylene] were prepd. as growth hormone-release stimulators (no data). Thus, 1'-methyl-1,2-dihydrospiro[3H-indole-3,4'-piperidine] was N-sulfonated and the demethylated product amidated by (R)-PhCH2OCH2CH(NHCO2CMe3)CO2H to give title compd. II [R = (R)-COCH(NHR7)CH2OCH2Ph](III; R7 = CO2CMe3) which was deprotected and the product amidated by HO2CCMe2NHCO2CMe3 to give, after deprotection, III (R7 = COCMe2NH2).
IT 195248-07-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

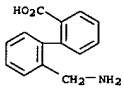
L4 ANSWER 53 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
(prepn. of aminoalkanoyl spiropiperidides and analogs as growth hormone-release stimulators)

RN 195248-07-8 CAPLUS
CN Carbamic acid, [2-[[(3R)-1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-3-yl]amino]-1,1-dimethyl-2-oxoethyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 54 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:589689 CAPLUS
DOCUMENT NUMBER: 127:248404
TITLE: Design, syntheses and potentiating activities against methicillin-resistant Staphylococcus aureus of cyclic analogs of LY301621
AUTHOR(S): Eid, Clark N.; Nicas, Thalia I.; Mullen, Deborah L.; Loncharich, Richard J.; Paschal, Jonathan W.
CORPORATE SOURCE: Infectious Diseases Research, Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(16), 2087-2092
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Previous SAR studies of the diastereomers of LY301621 suggested the importance of a .beta.-turn conformation for biol. activity. In the present study, cyclic analogs were designed and synthesized that possess Type II and II' .beta.-turns. Their biol. activity will be discussed.
IT **31638-34-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(design, syntheses and potentiating activities against methicillin-resistant Staphylococcus aureus of cyclic analogs of LY301621)
RN 31638-34-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 2'-(aminomethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 55 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:557640 CAPLUS
DOCUMENT NUMBER: 127:248103
TITLE: Substituted biphenyl isoxazole sulfonamides useful as endothelin antagonists
INVENTOR(S): Murugesan, Natesan; Barrish, Joel C.; Spergel, Steven H.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 325 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

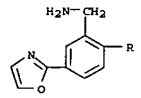
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9729748	A1	19970821	WO 1997-US3956	19970220
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5846990	A	19981208	US 1997-799616	19970213
ZA 9701423	A	19980819	ZA 1997-1423	19970219
AU 9722098	A1	19970902	AU 1997-22098	19970220
AU 720458	B2	20000601		
EP 921800	A1	19990616	EP 1997-915055	19970220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002500619	T2	20020108	JP 1997-529620	19970220

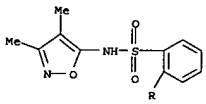
PRIORITY APPLN. INFO.: US 1996-603975 A 19960220
US 1996-754715 A 19961121
US 1997-799616 A 19970213
US 1995-493331 B2 19950724
WO 1997-US3956 W 19970220
OTHER SOURCE(S): MARPAT 127:248103
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I inhibit the activity of endothelin (no data), and are useful as antihypertensives, etc. The symbols in I are defined as follows (one of X and Y = N, other = O; J = O, S, N, (un)substituted NH; K, L = N or C, provided that at least one is C; p = 0-2; R1-R4 (bound to ring C atoms) = H, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aryloxy, aralkyl, aralkoxy, halo, OH, cyano, NO2, CHO, etc.; or R3R4 = (un)substituted alkylene or alkenylene; R5-R8 = groups similar to R1-R4, plus

L4 ANSWER 55 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
heterocyclyl, heterocyclyloxy, and others]. Over 280 synthetic examples are given. For instance, the MEM-protected, isoxazole-contg. bromide II [R = Br] was lithiated, treated with B(OPr-iso)3, and hydrolyzed to give 82% II [R = B(OH)2]. The latter was coupled with 2-(4-bromophenyl)oxazole using Pd(PPh3)4 catalyst (70%), followed by acidic deprotection of the MEM group (52%), to give title compd. III.
IT **176961-46-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of substituted biphenyl isoxazole sulfonamides as endothelin antagonists)
RN 176961-46-9 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, 2'-(aminomethyl)-N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-oxazolyl)- (9CI) (CA INDEX NAME)

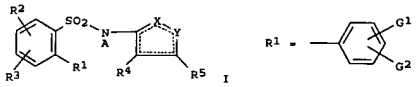




L4 ANSWER 56 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:440058 CAPLUS
DOCUMENT NUMBER: 127:50629
TITLE: Preparation of substituted biphenylsulfonamide derivatives as endothelin antagonists
INVENTOR(S): Murugesan, Natesan; Barrish, Joel C.; Lloyd, John
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

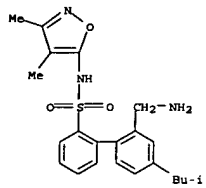
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09124620	A2	19970513	JP 1996-262859	19961003
US 6080774	A	20000627	US 1996-728238	19961008
CA 2187576	AA	19970412	CA 1996-2187576	19961010
AU 9668105	A1	19970417	AU 1996-68105	19961010
AU 716606	B2	20000302		
US 6271248	B1	20010807	US 2000-488506	20000120

PRIORITY APPLN. INFO.: US 1995-7032P P 19951011
US 1996-728238 A3 19961008
OTHER SOURCE(S): MARPAT 127:50629
GI

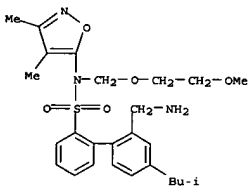


AB The title compds. (I; A = H; one of X and Y = N, the another one = O; R2-R5 = H, alkyl, alkenyl, alkynyl, aryl, aryloxy, etc.; G1 = H, alkyl; G2 = hydroxyalkyl, alkoxyalkylene, etc.) are prepd. I, possessing endothelin antagonism, are useful for prevention and treatment of hypertension, lung hypertension, glomerulus interstice cell diseases, toxemia, anemia, cell proliferation, atherosclerosis, reinfarction, subarachnoid hemorrhage, benign prostatic hypertrophy, and ischemic heart failure (no data). Thus, I (A = MeOCH2CH2OCH2, R1 = o-C6H4CH2OH, R2 = R3 = H, R4 = R5 = Me, X = O, Y = N) (prepn. given) was refluxed with aq. HCl in EtOH to give 37% the title compd. I (R1 = o-C6H4CH2OH, A = R2 = R3 = H, R4 = R5 = Me, X = O, Y = N).
IT **189761-64-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted biphenylsulfonamide derivs. as endothelin antagonists)
RN 189761-64-6 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, 2'-(aminomethyl)-N-(3,4-dimethyl-5-

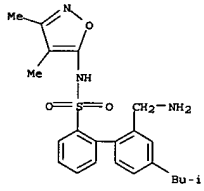
L4 ANSWER 56 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
isoxazolyl)-4'-(2-methylpropyl)- (9CI) (CA INDEX NAME)



IT **191231-36-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of substituted biphenylsulfonamide derivs. as endothelin antagonists)
RN 191231-36-4 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, 2'-(aminomethyl)-N-(3,4-dimethyl-5-isoxazolyl)-N-[(2-methoxyethoxy)methyl]-4'-(2-methylpropyl)- (9CI) (CA INDEX NAME)



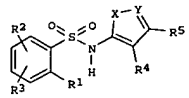
L4 ANSWER 57 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
the presence of NaH in THF, reaction of 2-bromo-N-(3,4-dimethyl-5-isoxazolyl)-N-(methoxyethoxymethyl)benzenesulfonamide with 1,3-dihydro-1-hydroxy-2,1-benzoxaborole in the presence of Pd(Ph3P)4 and aq. Na2CO3 in PhMe/EtOH, and treatment of the resulting N-(3,4-dimethyl-5-isoxazolyl)-2'-(hydroxymethyl)-N-(methoxyethoxymethyl)[1,1'-biphenyl]-2-sulfonamide with 6N HCl afforded I (X = O; Y = N; R1 = 2-(HOCH2)C6H4; R2, R3 = H; R4, R5 = Me). Compds. I are effective at 0.5-25 mg/kg/day.
IT **189761-64-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of N-isoxazolyl-biphenylsulfonamides as endothelin antagonists)
RN 189761-64-6 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, 2'-(aminomethyl)-N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-methylpropyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 57 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:369603 CAPLUS
DOCUMENT NUMBER: 126:343561
TITLE: Preparation of N-isoxazolyl-biphenylsulfonamides as endothelin antagonists
INVENTOR(S): Murugesan, Natesan; Barrish, Joel C.; Lloyd, John
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 768305	A1	19970416	EP 1996-116095	19961008
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 6080774	A	20000627	US 1996-728238	19961008
CA 2187576	AA	19970412	CA 1996-2187576	19961010
AU 9668105	A1	19970417	AU 1996-68105	19961010
AU 716606	B2	20000302		
US 6271248	B1	20010807	US 2000-488506	20000120

PRIORITY APPLN. INFO.: US 1995-7032P P 19951011
US 1996-728238 A3 19961008
OTHER SOURCE(S): MARPAT 126:343561
GI



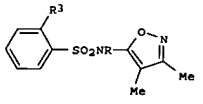
I

AB The title compds. [I; X, Y = N and the other = O; R1 = (un)substituted Ph;
R2-R5 = H, alkyl, alkenyl, etc.; R4R5 = (un)substituted alkylene, alkenylene completing a 4-8 membered satd., unsatd. or arom. ring together
with the carbon atoms to which they are attached] and their salts, antagonists of ET-1, ET-2 and/or ET-3 and useful for the treatment of endothelin-related disorders, hypertension, pulmonary hypertension, renal,
glomerular or mesangial cell disorders, endotoxemia, ischemia, atherosclerosis, restenosis, subarachnoid hemorrhage, benign prostatic hypertrophy and congestive heart failure, were prepd. Thus, reaction of 2-bromobenzenesulfonyl chloride with 3,4-dimethyl-5-isoxazolamine in pyridine followed by treatment of the resulting N-(3,4-dimethyl-5-isoxazolyl)-2-bromobenzenesulfonamide with methoxyethoxymethyl chloride in

L4 ANSWER 58 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:260093 CAPLUS
DOCUMENT NUMBER: 126:293349
TITLE: Preparation of N-isoxazolylbiphenylsulfonamides as endothelin antagonists
INVENTOR(S): Murugesan, Natesan
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 32 pp., Cont.-in-part of U.S. Ser. No. 368,285, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5612359	A	19970318	US 1995-487358	19950607
TW 461890	B	20011101	TW 1995-84107851	19950728
IL 114829	A1	19991130	IL 1995-114829	19950803
CA 2155447	AA	19960227	CA 1995-2155447	19950804
FI 9504008	A	19960227	FI 1995-4008	19950825
NO 9503361	A	19960227	NO 1995-3361	19950825
AU 9530261	A1	19960307	AU 1995-30261	19950825
AU 699138	B2	19981126		
CN 1128262	A	19960807	CN 1995-109400	19950825
CN 1060171	B	20010103		
RU 2174979	C2	20011020	RU 1995-114395	19950825
JP 08183786	A2	19960716	JP 1995-218836	19950828
US 5827869	A	19981027	US 1996-762547	19961209

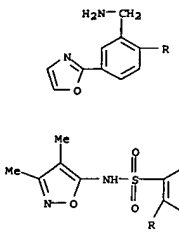
PRIORITY APPLN. INFO.: US 1994-297187 B2 19940826
US 1995-368285 B2 19950104
US 1995-487358 A3 19950607
OTHER SOURCE(S): MARPAT 126:293349
GI



I

AB R1(CH2)pZSO2NHR2 [R1 = (un)substituted pyrrolyl, furyl, imidazolyl, oxazolyl, etc.; R2 = (un)substituted isoxazolyl; Z = (un)substituted 4,2'-biphenylenediyl; p = 0-2] were prepd. as endothelin antagonists (no data). Thus, isoxazolylbenzenesulfonamide I [R = CH2OCH2CH2OMe, R3 = B(OH)2] was condensed with 2-(4-bromophenyl)oxazole (prepn. each given) to
give, after deprotection, I [R = H, R3 = 4-(2-oxazolyl)phenyl].
IT **176961-46-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

L4 ANSWER 58 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-isoxazolylbiphenylsulfonamides as endothelin antagonists)
RN 176961-46-9 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, 2'-(aminomethyl)-N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-oxazolyl)- (9CI) (CA INDEX NAME)

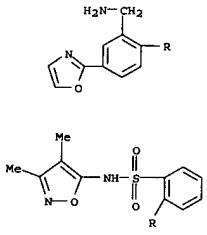


L4 ANSWER 59 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:323069 CAPLUS
DOCUMENT NUMBER: 125:10795
TITLE: Preparation of N-isoxazolylbiphenylsulfonamides as endothelin antagonists
INVENTOR(S): Murugesan, Natesan; Barrish, Joel C.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: Eur. Pat. Appl., 84 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 702012	A1	19960320	EP 1995-113383	19950825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
TW 461890	B	20011101	TW 1995-84107851	19950728
IL 114829	A1	19991130	IL 1995-114829	19950803
CA 2155447	AA	19960227	CA 1995-2155447	19950804
FI 9504008	A	19960227	FI 1995-4008	19950825
NO 9503361	A	19960227	NO 1995-3361	19950825
AU 9530261	A1	19960307	AU 1995-30261	19950825
AU 699138	B2	19981126		
CN 1128262	A	19960807	CN 1995-109400	19950825
CN 1060171	B	20010103		
RU 2174979	C2	20011020	RU 1995-114395	19950825
JP 08183786	A2	19960716	JP 1995-218836	19950828

PRIORITY APPLN. INFO.: US 1994-297187 A 19940826
US 1995-368285 A 19950104
OTHER SOURCE(S): MARPAT 125:10795
AB R1(CH2)pZSO2NHR2 [R1 = (un)substituted 2-, 4-, or 5-oxazolyl, -2-thiazolyl, -1-pyrazolyl, -1- or -2-imidazolyl, etc.; R2 = (un)substituted 5-isoxazolyl, etc.; Z = biphenyl-4',2'-diyl; p = 0-2] were prepd. as endothelin antagonists (no data). Thus, 2-[(HO)2B]C6H4SO2N(CH2OCH2CH2OMe)R2 (R2 = 3,4-dimethyl-5-isoxazolyl) was condensed with 2-(4-bromophenyl)oxazole (prepn. each given) to give, after deprotection, N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-oxazolyl)-1,1'-biphenyl-2-sulfonamide.
IT **176961-46-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-isoxazolylbiphenylsulfonamides as endothelin antagonists)
RN 176961-46-9 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, 2'-(aminomethyl)-N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-oxazolyl)- (9CI) (CA INDEX NAME)

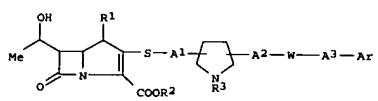
L4 ANSWER 59 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



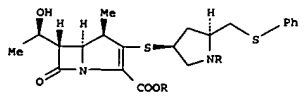
L4 ANSWER 60 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:931372 CAPLUS
DOCUMENT NUMBER: 123:339535
TITLE: Preparation of carbapenem derivatives as antibacterials
INVENTOR(S): Nakagawa, Susumu; Fukatsu, Hiroshi; Ushijima, Ryosuke
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 256 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9523150	A1	19950831	WO 1995-JP280	19950224
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2184101	AA	19950831	CA 1995-2184101	19950224
AU 9518240	A1	19950911	AU 1995-18240	19950224
AU 680736	B2	19970807		
EP 747381	A1	19961211	EP 1995-909978	19950224
EP 747381	B1	20011031		
R: AT, BE, DE, DK, FR, GB, IE, IT, LU, MC, NL, PT, SE				
AT 207922	E	20011115	AT 1995-909978	19950224
US 5707987	A	19980113	US 1996-696910	19960823

PRIORITY APPLN. INFO.: JP 1994-52686 A 19940225
JP 1994-64606 A 19940328
JP 1994-107568 A 19940422
JP 1994-110289 A 19940426
JP 1994-114288 A 19940428
WO 1995-JP280 W 19950224
OTHER SOURCE(S): MARPAT 123:339535
GI



I



II

AB The title compds. [I; R1 represents hydrogen or lower alkyl; R2 represents hydrogen or a neg. charge; R3 represents hydrogen or lower alkyl; Ar

L4 ANSWER 60 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

represents lower alkyl, lower alkylsulfamoyl, etc. (each of which may be substituted by hydroxyl, di(lower alkyl)sulfonyl, etc.), or Ph, naphthyl or a group of formula .alpha. or .beta. (each of which may be substituted by hydroxyl, di(lower alkyl)sulfamoyl, etc.), wherein A4 and A5 represent each a single bond, -NHSO2-, etc., and Het represents pyrrolinyl, 1,4-diazabicyclo[2.2.2]octyl, etc. (each of which may be substituted by hydroxyl, carbamoylated lower alkyl, etc.); A1, A2, and A3 represent each a single bond or lower alkylene which may be substituted by lower alkyl, lower alkylsulfamoyl, etc. (each of which may be substituted by hydroxyl, di(lower alkyl)sulfamoyl, etc.) or may be substituted by pyridyl, pyridino, etc. (each of which may be substituted by lower alkyl, carbamoylated lower alkyl, etc.); and W represents sulfur, a single bond, etc.] and their pharmaceutically acceptable salts are prepd. Thus, a soln. of p-nitrophenyl (1R,5S,6S)-2-diphenoxyphosphoryloxy-6-[(1R)-1-hydroxyethyl]-1-methyl-1-carbapen-2-em-3-carboxylate and (3S,5S)-3-mercapto-1-p-nitrobenzyloxycarbonyl-5-(phenylthiomethyl)-pyrrolidine (prepn. given) in MeCN contg. diisopropylamide was allowed to react at 50.degree. overnight to give 60% the title compd. II (R = p-nitrobenzyloxycarbonyl), which was deprotected to give the monosodium salt of II [R = H]. In an in vitro study, this had an IC50 of 0.39 .mu.g/mL against Staphylococcus aureus.

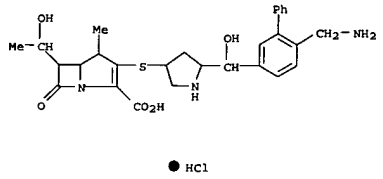
IT **170584-89-1P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of carbapenem derivs. as antibacterials)

RN 170584-89-1 CAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[[5-[[6-[aminomethyl)[1,1'-biphenyl]-3-yl]hydroxymethyl]-3-pyrrolidinyl]thio]-6-(1-hydroxyethyl)-4-methyl-7-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 61 OF 111 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:916439 CAPLUS

DOCUMENT NUMBER: 123:340206

TITLE: Preparation of benzo-fused macrocycles that promote release of growth hormone

INVENTOR(S): Devita, Robert J.; Schoen, William R.; Frontier, Alison J.; Wyvratt, Matthew J., Jr.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

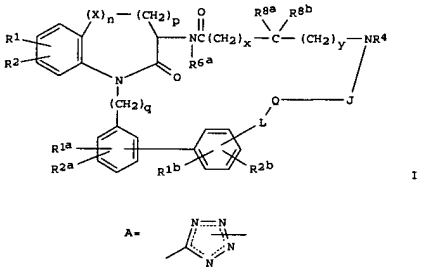
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9512598	A1	19950511	WO 1994-US12422	19941028
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5438136	A	19950801	US 1993-146245	19931102
CA 2174235	AA	19950511	CA 1994-2174235	19941028
AU 9510453	A1	19950523	AU 1995-10453	19941028
AU 677744	B2	19970501		
EP 726901	A1	19960821	EP 1995-901078	19941028
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09504551	T2	19970506	JP 1994-513316	19941028

PRIORITY APPLN. INFO.: US 1993-146245 19931102
WO 1994-US12422 19941028

OTHER SOURCE(S): MARPAT 123:340206

GI

L4 ANSWER 61 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



I

A=

AB Benzo-fused macrocyclic compds. I [X = CO, O, S(O)m, CH(OH), etc., m = 0-2, R1, R2, R1a, R2a, R1b, R2b = H, halogen, C1-C7 alkyl, cyano, NO2, etc., R4 = H, Ph, substituted Ph, C1-C10 alkyl, etc., R6a = H, C1-C10 alkyl, Ph, etc., R8a, R8b = H, CF3, C1-C10 alkyl, etc., L = A, C1-C6 alkylene substituted with A, A = Q, CONR6c, NR6bCO, OCONR6c, etc., R6b, R6c, R6d = H, C1-C10 alkyl, Ph, etc., Q = single bond, ECOK, K = O, S, NR6d, E, J = C1-C6 alkylene, C1-C6 alkoxy, C3-C7 cycloalkyl, etc., n = 0, 1, p = 0-3, q = 0-4, x = 0-3, yr = 0-3], which promote the release of growth hormone in humans and animals, have been prepd. This property can be utilized to promote the growth of food animals to render the prodn. of edible meat products more efficient, and in humans, to increase the stature of those afflicted with a lack of a normal secretin of natural growth hormone. Growth promoting compns. contg. such benzo-fused macrocycles as the active ingredient thereof are also disclosed.

IT **162356-96-9P 170278-29-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of benzo-fused macrocycles that promote release of growth hormone)

RN 162356-96-9 CAPLUS

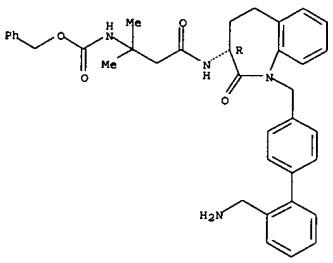
CN Carbamic acid, [3-[[(3R)-1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-3-yl]amino]-1,1-dimethyl-3-oxopropyl]-, phenylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 162356-95-8
CMF C37 H40 N4 O4
CDES 1:R

Absolute stereochemistry.

L4 ANSWER 61 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 170278-29-2 CAPLUS

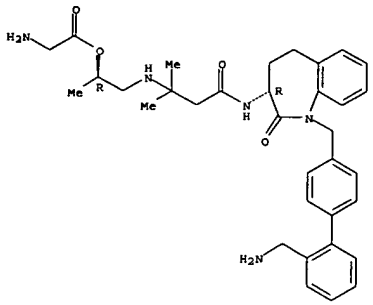
CN Glycine, 2-[[3-[[1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-3-yl]amino]-1,1-dimethyl-3-oxopropyl]amino]-1-methylethyl ester, [R-(R*,R*)]-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 170278-28-1
CMF C34 H43 N5 O4
CDES 1:R2:R*,R*

Absolute stereochemistry.

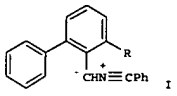
L4 ANSWER 61 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

L4 ANSWER 62 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:898624 CAPLUS
DOCUMENT NUMBER: 124:117068
TITLE: Benzazepine formation by the 1.7 electrocyclizations of diene-conjugated nitrile ylides: studies on relative rates of cyclization via intramolecular competition reaction
AUTHOR(S): Cullen, Kevin E.; Sharp, John T.
CORPORATE SOURCE: Dep. Chem., Univ. Edinburgh, Edinburgh, EH9 3JJ, UK
SOURCE: J. Chem. Soc., Perkin Trans. 1 (1995), (20), 2565-79
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

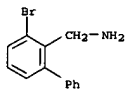


I

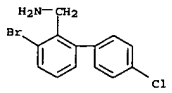
AB A series of reactions has been carried out using reactants I (R = E-2-phenylethenyl, 2-thienyl, 3,5-dimethylphenyl, m-anisyl, o-tolyl, 4-chlorophenyl, etc.) in which nitrile ylide cyclization on to the substituent at the 6 position is in competition with cyclization on to the unsubstituted Ph group at the 2 position. The relative reactivity of the two groups, detd. by measuring the product ratio was detd. for a series of 6-substituents. This is the first collection of such data for the electrocyclization of 1,3-dipolar intermediates. Alkenyl groups and the thiophene ring were found to be >100 .tau. more reactive than Ph. In cases where the 6-substituent was a substituted aryl group it was found that all arom. substituents at the 3' and 4' positions, irresp. of their electronic nature, increased the reactivity of the ring relative to that of the unsubstituted Ph group. In contrast, a Me group at the 2' position produced strong deactivation. The results are discussed in terms of the steric and electronic effects of the substituents.

IT **172976-06-6P 172976-07-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(benzazepine formation by the 1.7 electrocyclizations of diene-conjugated nitrile ylides)
RN 172976-06-6 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine, 3-bromo- (9CI) (CA INDEX NAME)

L4 ANSWER 62 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



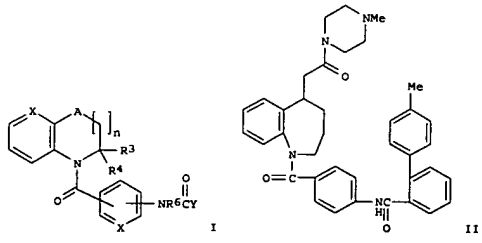
RN 172976-07-7 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine, 3-bromo-4'-chloro- (9CI) (CA INDEX NAME)



L4 ANSWER 63 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:807928 CAPLUS
DOCUMENT NUMBER: 123:198646
TITLE: Benzamide derivatives and their use as vasopressin antagonists
INVENTOR(S): Setoi, Hiroyuki; Ohkawa, Takehiko; Zenkoh, Tatsuya; Hemmi, Keiji; Tanaka, Horokazu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 110 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 620216	A1	19941019	EP 1994-105344	19940407
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5521170	A	19960528	US 1994-220695	19940331
AU 9459322	A1	19941020	AU 1994-59322	19940408
AU 679719	B2	19970710		
CA 2121112	AA	19941014	CA 1994-2121112	19940412
JP 07002800	A2	19950106	JP 1994-72997	19940412
CN 1098406	A	19950208	CN 1994-103577	19940412
CN 1058710	B	20001122		
HU 70197	A2	19950928	HU 1994-1041	19940412
ZA 9402325	A	19950216	ZA 1994-2325	19941031

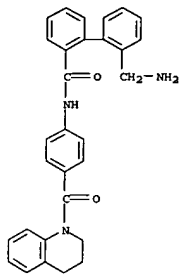
PRIORITY APPLN. INFO.: GB 1993-7527 A 19930413
OTHER SOURCE(S): MARPAT 123:198646
GI



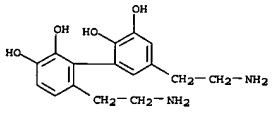
I II

AB Benzamide derivs. I (R1 = H, alkyl, etc.; R2 = H, alkyl, haloalkyl, etc.; R3, R4 = H, alkyl, etc.; R3R4 taken together form oxo; R5 = H, halo, nitro, hydroxy, etc.; R6 = H, alkyl, acyl; A = aminomethylene, alkanediyl, alkenediyl, etc.; X, Y = nitrogen, methine; n = integer) were disclosed

L4 ANSWER 63 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
vasopressin antagonists. I are useful for the treatment or prevention of hypertension, heart failure renal insufficiency, edema, ascites, vasopressin parasecretion syndrome, hepatocirrhosis, hyponatremia, hypokalemia, diabetic and circulation disorders. An example compd., 1-[4-[2-(4-methylphenyl)benzoylamino]benzoyl]-5-[[(4-methyl-1-piperazinyl)carbonyl]methyl]-2,3,4,5-tetrahydro-1H-1-benzazepine (II) was prepd. in several steps.
IT **168045-99-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of benzamide derivs. vasopressin antagonists)
RN 168045-99-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-(aminomethyl)-N-[4-[(3,4-dihydro-1(2H)-quinolinyl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 64 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



L4 ANSWER 64 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:697175 CAPLUS
DOCUMENT NUMBER: 123:105457
TITLE: Biosynthesis of melanin from dopamine. An investigation of early oligomerization products
AUTHOR(S): Bertazzo, Antonella; Costa, Carlo; Allegri, Graziella;
Seraglia, Roberta; Traldi, Pietro
CORPORATE SOURCE: Dipartimento Scienze Farmaceutiche, Universita Padova,
Padua, I-35131, Italy
SOURCE: Rapid Commun. Mass Spectrom. (1995), 9(8), 634-40
CODEN: RCMSEF; ISSN: 0951-4198
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Matrix-assisted laser desorption/ionization (MALDI) and fast-atom bombardment (FAB) mass spectrometry expts. were applied to the study of the early stages of the oligomerization reaction of dopamine with mushroom
tyrosinase. Ultrafiltration was employed to remove the enzyme at various reaction times, to prevent possible attachment of the protein to the highly reactive intermediates. Two sets of five samples each, obtained at
different reaction times, in one case immediately lyophilized and in the other left to react under an oxygen stream for 24 h before lyophilization,
were compared. FAB showed the presence of various species and of these, that at m/z 305 increased in abundance with reaction time in the immediately lyophilized set of samples only. Accurate mass measurements, and tandem mass spectrometric expts. indicated the structure of a dopamine
protonated dimer for this ion. MALDI measurements showed that all samples
were composed of clusters of oligomers differing in degree of oligomerization. Oligomerization increases with reaction time, resulting in the formation of species at 2643-2911 Da. These clusters in turn were formed of species with a different degree of oxidn., detected in both sets
of samples.
IT **166186-51-2P**
RL: BPN (Biosynthetic preparation); MFM (Metabolic formation); PRP (Properties); RCT (Reactant); BIOL (Biological study); FORM (Formation, nonpreparative); PREP (Preparation)
(biosynthesis of melanin from dopamine and investigation of early oligomerization products)
RN 166186-51-2 CAPLUS
CN [1,1'-Biphenyl]-2,2',3,3'-tetrol, 5,6'-bis(2-aminoethyl)- (9CI) (CA INDEX
NAME)

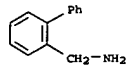
L4 ANSWER 65 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:662328 CAPLUS
DOCUMENT NUMBER: 123:83996
TITLE: Preparation of aminoacid derivatives as neuropeptide Y
antagonists.
INVENTOR(S): Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Mihm, Gerhard; Doods, Henri; Wieland, Heike-Andrea; Willim, Klaus-Dieter; Krause, Juergen; Dollinger, Horst; et al.
PATENT ASSIGNEE(S): Dr. Karl Thomae GmbH, Germany
SOURCE: PCT Int. Appl., 308 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9417035	A1	19940804	WO 1994-EP109	19940118
W: AU, BG, BY, CA, CN, CZ, FI, HU, JP, KR, NO, NZ, PL, RO, RU, SK, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 4301452	A1	19940721	DE 1993-4301452	19930120
DE 4326465	A1	19950209	DE 1993-4326465	19930806
AU 9458841	A1	19940815	AU 1994-58841	19940118
AU 683442	B2	19971113		
EP 680469	A1	19951108	EP 1994-905073	19940118
EP 680469	B1	20000426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08505862	T2	19960625	JP 1994-516636	19940118
AT 192142	E	20000515	AT 1994-905073	19940118
FI 9501467	A	19950718	FI 1995-3467	19950718
NO 9502869	A	19950919	NO 1995-2869	19950719

PRIORITY APPLN. INFO.: DE 1993-4301452 A 19930120
DE 1993-4326465 A 19930806
WO 1994-EP109 W 19940118
OTHER SOURCE(S): MARPAT 123:83996
AB TZNR1CR2R3COY(CH2)nR (n = 0-5; R = H, OH, (substituted) Ph, naphthyl, aminophenyl, aminonaphthyl, hydroxyphenyl, hydroxynaphthyl, diphenylmethyl, heteroaryl, cycloalkyl, etc.; Y = O, NR4; R1, R4 = H, alkyl, cycloalkyl, (substituted) Ph, PhCH2; R2 = substituted alkyl, Ph, PhCH2; R3 = H, alkyl, cycloalkyl; T = H, Ph, (substituted) heteroaryl, protecting group, etc.; Z = bond, CO, CH2, SO, SO2], were prepd. Thus, H-D-Arg(NO2)-OH in THF was treated with aq. NaOH and then with Ph2CHCOCl to give 85% amide. This in THF was treated with N-methylmorpholine, iso-Bu chloroformate, and 4-(aminomethyl)acetanilide under cooling to give
63%
(R)-N-[[4-(acetylamino)phenyl]methyl]-N5-[amino(nitroimino)methyl]-N2-(diphenylacetyl)ornithinamide. This was hydrogenated in aq. HOAc over Pd to give (R)-N-[[4-(acetylamino)phenyl]methyl]-N2-diphenylacetylargininamide acetate. Title compds. antagonized neuropeptide Y-induced effects on blood pressure in rats at 0.01-10 mg/kg.
IT **1924-77-2**, [1,1'-Biphenyl]-2-methanamine
RL: RCT (Reactant)
(prepn. of aminoacid derivs. as neuropeptide Y antagonists)

Kamal Saeed

L4 ANSWER 65 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 1924-77-2 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine (9CI) (CA INDEX NAME)



L4 ANSWER 66 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:609463 CAPLUS
DOCUMENT NUMBER: 123:313105
TITLE: Solid phase synthesis of aryl ethers via the Mitsunobu reaction
AUTHOR(S): Rano, Thomas A.; Chapman, Kevin T.
CORPORATE SOURCE: Dep. Mol. Design Diversity, Merck Res. Lab., Rahway, NJ, 07065, USA
SOURCE: Tetrahedron Lett. (1995), 36(22), 3789-92
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A procedure for the prepn. of aryl ethers on a solid support employing the Mitsunobu reaction is described. Either polymer bound phenols or benzyl alcs. react rapidly and cleanly with TMAD/Bu3P and the appropriate electrophile/nucleophile to provide the aryl ether in excellent yield and purity after cleavage from the solid support.
IT **169836-40-2P 169836-44-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid phase synthesis of aryl ethers via the Mitsunobu reaction)
RN 169836-40-2 CAPLUS
CN Methanesulfonic acid, trifluoro-, compd. with 4-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methoxy]benzenepropanamide (1:1) (9CI) (CA INDEX NAME)

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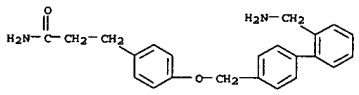
CRN 169836-39-9
CMF C23 H24 N2 O2



CM 2

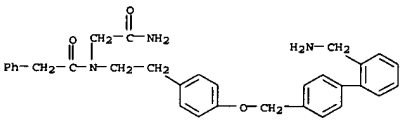
CRN 1493-13-6
CMF C H F3 O3 S

RN 169836-44-6 CAPLUS
CN Methanesulfonic acid, trifluoro-, compd. with N-[2-[4-[[2'-

L4 ANSWER 66 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
(aminomethyl)[1,1'-biphenyl]-4-yl]methoxy]phenyl]ethyl]-N-(2-amino-2-oxoethyl)benzeneacetamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 169836-43-5
CMF C32 H33 N3 O3



CM 2

CRN 1493-13-6
CMF C H F3 O3 S

L4 ANSWER 67 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:608237 CAPLUS
DOCUMENT NUMBER: 123:313739
TITLE: Synthesis and Evaluation of 6,7-Dihydroxy-2,3,4,8,9,13b-hexahydro-1H-benzo[6,7]cyclohepta[1,2,3-ef][3]benzazepine, 6,7-Dihydroxy-1,2,3,4,8,12b-hexahydroanthr[10,4a,4-cd]azepine, and 10-(Aminomethyl)-9,10-dihydro-1,2-dihydroxyanthracene as Conformationally Restricted Analogs of .beta.-Phenyldopamine
AUTHOR(S): Snyder, Scott E.; Aviles-Garay, Felix A.; Chakraborti, Ratna; Nichols, David E.; Watts, Val J.; Mailman, Richard B.
CORPORATE SOURCE: School of Pharmacy and Pharmacal Sciences, Purdue University, West Lafayette, IN, 47907-1333, USA
SOURCE: J. Med. Chem. (1995), 38(13), 2395-409
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The present study was designed to define the geometry of the hydrophobic accessory region for binding of dopamine D1 receptor ligands and to assess the relative importance of ethylamine side chain conformation for receptor affinity. Three compds., 6,7-dihydroxy-2,3,4,8,9,13b-hexahydro-1H-benzo[6,7]cyclohepta[1,2,3-ef][3]benzazepine, 4, 6,7-dihydroxy-1,2,3,4,8,12b-hexahydroanthr[10,4a,4-cd]azepine, 5, and 10-(aminomethyl)-9,10-dihydro-1,2-dihydroxyanthracene, 6, were synthesized as conformationally restricted analogs of .beta.-phenyldopamine. Mol. modeling studies were performed to compare these three compds. with the high-affinity D1 agonists dihydrexidine (DHX), 2, and SKF 38393, 3. The .beta.-Ph moieties in the target compds. are constrained by means of either an ethylene (4) or methylene (5 and 6) bridge. The compds. adopt min.-energy conformations in which the .beta.-Ph group is approx. -22.degree. (4), -12.degree. (5), and -30.degree. (6) from coplanarity with the catechol ring. These compds. also embody either a freely rotating (6) or a rigidified gauche (4 and 5) rotameric conformation of the dopamine ethylamine side chain, the latter nearly perfectly superimposable on the benzazepine portion of SKF 38393. Radioligand competition expts. showed that compds. 4, 5, and 6 have only micromolar affinity for both the D1 and D2 dopamine receptor subtypes. The low affinity of 4-6, relative to 2 and 3, may be due to improper orientation of the .beta.-Ph moiety and provides important information about the three-dimensional orientation of the hydrophobic accessory binding domain of the dopamine D1 receptor. In addn., the negligible affinity of 6, as compared to 2 and 3, indicates that the rotameric positioning of the ethylamine side chain may not be a primary determinant of receptor affinity.
IT **53622-74-5**, 2-Phenyldopamine
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(synthesis and evaluation of benzocycloheptabenzazepine, anthrazepine, and (aminomethyl)anthracene derivs. as conformationally restricted analogs of .beta.-phenyldopamine)
RN 53622-74-5 CAPLUS
CN [1,1'-Biphenyl]-2,3-diol, 6-(2-aminoethyl)- (9CI) (CA INDEX NAME)

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                                                          ENTRY    SESSION
FULL ESTIMATED COST                                        0.21       0.21

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DICTIONARY FILE UPDATES:  14 AUG 2002  HIGHEST RN 443957-06-0

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for more information.  See STNote 27, Searching Properties in the CAS
Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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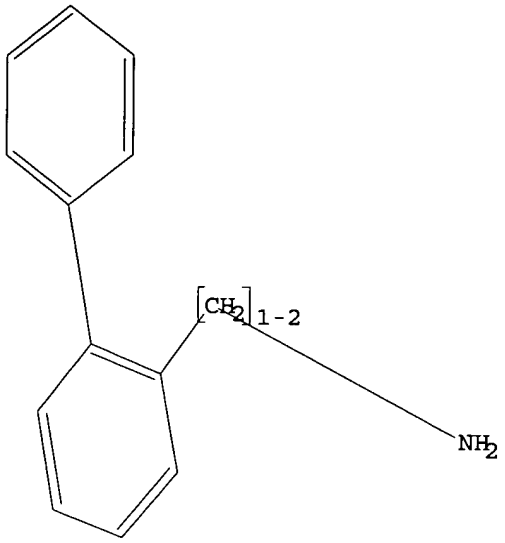

G1 H,Ak

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SEARCH TIME: 00.00.03

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FILE LAST UPDATED: 14 Aug 2002 (20020814/ED)

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L4 ANSWER 1 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:522631 CAPLUS
DOCUMENT NUMBER: 137:93747
TITLE: Preparation of pyrazolecarboxamides as inhibitors of factor Xa
INVENTOR(S): Zhu, Bing-yan; Jia, Zhaozhong Jon; Huang, Wenrong; Song, Yonghong; Kanter, James; Scarborough, Robert M.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 303 pp., Cont.-in-part of U.S. Ser. No. 662,807.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002091116	A1	20020711	US 2001-794214	20010228
PRIORITY APPLN. INFO.:			US 1999-154332P P	19990917
			US 2000-662807 A2	20000915

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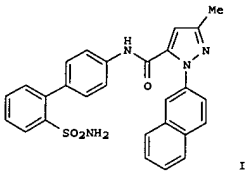
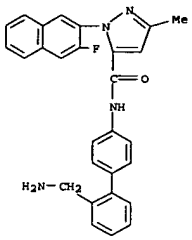


I

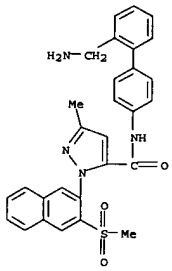
AB The title compds. AQDEGJX [A = alkyl, cycloalkyl, (un)substituted Ph, naphthyl, etc.; Q = a direct link, divalent alkyl, alkenyl, etc.; D = a direct link, (un)substituted Ph, 5-10 membered (non)arom. heterocyclyl; E = a direct link, (CH2)qCO, CO(CH2)x, etc.; q, x = 0-2; G = (un)substituted Ph, 5-6 membered heteroaryl; J = a direct link, SO2, CO, etc.; X = (un)substituted Ph, naphthyl, 6-membered heteroaryl, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating coagulation disorders, were prepd. E.g., a 3-step synthesis of the pyrazolecarboxamide I was given.

IT **330802-01-2P 330802-52-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazolecarboxamides as inhibitors of factor Xa)

L4 ANSWER 1 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 330802-01-2 CAPLUS
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 330802-52-3 CAPLUS
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]-3-methyl-1-(3-(methylsulfonyl)-2-naphthalenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:465965 CAPLUS
DOCUMENT NUMBER: 137:47128
TITLE: Preparation of of ureido- and carbamoyloxy-substituted amides as inhibitors of factor Xa for the treatment of clotting disorders and tumors.
INVENTOR(S): Dorsch, Dieter; Mederski, Werner; Tsaklakidis, Christos; Cezanne, Bertram; Gleitz, Johannes; Barnes, Christopher
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

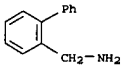
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048099	A1	20020620	WO 2001-EP13545	20011121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10063008	A1	20020620	DE 2000-10063008	20001216
PRIORITY APPLN. INFO.:			DE 2000-10063008 A	20001216
OTHER SOURCE(S):		MARPAT 137:47128		

AB DNHCOXCHR1CONH(CH2)nEW [D = (substituted) Ph, pyridyl; R1 = H, Ar, Het, cycloalkyl, (substituted) A; R2 = H, A; E = (substituted) phenylene, piperidin-1,4-diyl; W = Ar, Het, N(R2)2, R2, cycloalkyl; X = NH, O; A = (fluoro-substituted) (O-, S-, or CH:CH-interrupted) alkyl; Ar = (substituted) Ph; Het = (arom.) (substituted) heterocyclyl; n = 0, 1], were prepd. Thus, Z-D-Phe-OH, 2'-methylsulfonylbiphenyl-4-ylamine, N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride, 1-hydroxybenzotriazole, and 4-methylmorpholine were stirred 40 h in DMF to give benzyl [(R)-1-(2'-methylsulfonylbiphenyl-4-ylcarbamoyl)-2-phenylethyl]carbamate. This was hydrogenolyzed in MeOH over Pd/C and the product was stirred with 4-chlorophenyl isocyanate in CH2Cl2 to give (R)-2-[3-(4-chlorophenyl)ureido]-N-(2'-methylsulfonylbiphen-4-yl)-3-phenylpropionamide. The latter inhibited factor Xa with IC50 = 8.6 .times. 10-8 M.

IT **1924-77-2**, [1,1'-Biphenyl]-2-methanamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; prepn. of ureido- and carbamoyloxy-substituted amides as inhibitors of factor Xa for the treatment of clotting disorders such as strokes and cancer)

RN 1924-77-2 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

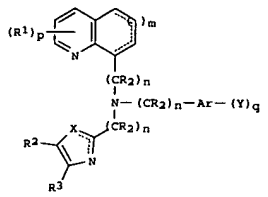
L4 ANSWER 3 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:332188 CAPLUS
DOCUMENT NUMBER: 136:355235
TITLE: Preparation of tertiary N-(5,6,7,8-tetrahydro-8-quinolinyl)-N-(1H-benzimidazol-2-ylmethyl)amines and analogs as chemokine receptor modulators for treatment of HIV or FIV
INVENTOR(S): Bridger, Gary; Skerlj, Renato; Kaller, Al; Harwig, Curtis; Bogucki, David; Wilson, Trevor R.; Crawford, Jason; Mceachern, Ernest J.; Atsman, Berm; Nan, Siqiao; Zhou, Yuanxi; Schols, Dominique; Smith, Christopher Dennis; Di Fluri, Rosaria Maria
PATENT ASSIGNEE(S): Anormed Inc., Can.
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002034745	A1	20020502	WO 2001-US29590	20010919

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-234510P P 20000922
US 2000-234816P P 20000922
OTHER SOURCE(S): MARPAT 136:355235
GI

L4 ANSWER 3 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



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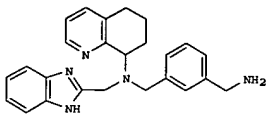


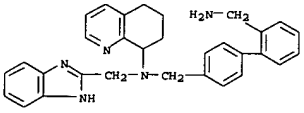
II

AB Title compds. I [wherein ring A optionally comprises a heteroatom selected from N, O, or S; R1-R3 = non-interfering substituents; R4 and R5 = independently H or (un)substituted alkyl, alkenyl, alkynyl, or acyl; or 2 R5 may form a cyclic amine, optionally contg. 1 or more N, O, and/or S; R = independently H or alkyl; X = O or S or (un)substituted C or N; Y = independently halo, OH, SH, SO, SO2, non-N contg. org. moiety, (CH2)xCN, (CR2)xNR52, (CR2)xNR(CR2)xNRR4, (CR2)xNR(CR2)xNR(CR2)xNR52, (CR2)xCO(CR2)xNR52, (CR2)xCO(CR2)xNR(CR2)xNRR4, (CR2)xCO(CR2)xNR(CR2)xNR(CR2)xNR52, (CR2)xNRCO(CR2)xNRR4, (CR2)xNRCO(CR2)xNR(CR2)xNR52, (CR2)xNRCO(CR2)xNR(CR2)xNR(CR2)xNR(CR2)xNR52, CH:NZ, (CR2)xZ, NR(CR2)xZ, (CR2)xNROH, (CR2)xCONROH, or (CR2)xCR:NOH; or 2 Y groups may be connected to form a fused ring with Ar; Z = (un)substituted (hetero)aryl; Ar = (hetero)aryl; m = 0-2; n = 0-2; p = 0-4; q = 0-3; x = 0-4; with provisos; and pharmaceutically acceptable salts and pro-drugs thereof] were prepd. as modulators of chemokine receptor activities. For example, reductive addn. of 3-cyanobenzaldehyde to 8-amino-5,6,7,8-tetrahydroquinoline using sodium triacetoxyborohydride in CH2Cl2 afforded N-(5,6,7,8-tetrahydro-8-quinolinyl)-3-cyanobenzylamine (81%). Alkylation with N-(tert-butoxycarbonyl)-2-chloromethylbenzimidazole using N,N-diisopropylethylamine and KI in MeCN (88%), followed by hydrogenation in the presence of Raney nickel (79%), gave the tertiary amine II (AMD9679). Compds. of the invention tested for inhibition of HIV-1 NL4.3 or IIIB replication in MT-4 cells exhibited EC50

L4 ANSWER 3 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
values of 0.002 .mu.M/mL to 20.0 .mu.M/mL. Thus, I are useful for the treatment of human immunodeficiency virus (HIV) and/or feline immunodeficiency virus (FIV).

IT **422285-17-4P**, (2'-Aminomethylbiphenyl-4-ylmethyl)(1H-benzimidazol-2-ylmethyl)-(5,6,7,8-tetrahydroquinolin-8-yl)amine hydrobromide (1:3)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(chemokine receptor modulator; prepn. of N-(tetrahydroquinolinyl)-N-(benzimidazolylmethyl)amines and analogs as chemokine receptor modulators for treatment of HIV or FIV)

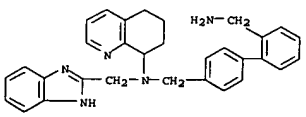
RN 422285-17-4 CAPLUS
CN [1,1'-Biphenyl]-2,4'-dimethanamine, N4'-(1H-benzimidazol-2-ylmethyl)-N4'-(5,6,7,8-tetrahydro-8-quinolinyl)-, trihydrobromide (9CI) (CA INDEX NAME)



●3 HBr

IT **421553-61-9P**, (2'-Aminomethylbiphenyl-4-ylmethyl)(1H-benzimidazol-2-ylmethyl)-(5,6,7,8-tetrahydroquinolin-8-yl)amine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of N-(tetrahydroquinolinyl)-N-(benzimidazolylmethyl)amines and analogs as chemokine receptor modulators for treatment of HIV or FIV)

RN 421553-61-9 CAPLUS
CN [1,1'-Biphenyl]-2,4'-dimethanamine, N4'-(1H-benzimidazol-2-ylmethyl)-N4'-(5,6,7,8-tetrahydro-8-quinolinyl)- (9CI) (CA INDEX NAME)



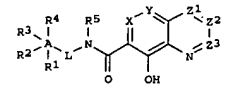
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:293652 CAPLUS
DOCUMENT NUMBER: 136:325531
TITLE: Preparation of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors
INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson, Melissa; Wai, John S.; Zhuang, Linghang; Embrey, Mark; Tran, Lekhanh; Melamed, Jeffrey Y.; Langford, H. Marie; Guare, James P.; Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 434 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030930	A2	20020418	WO 2001-US31456	20011009

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-239707P P 20001012
US 2001-281656P P 20010405
OTHER SOURCE(S): MARPAT 136:325531
GI



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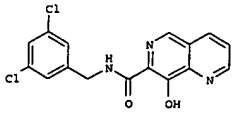


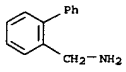
II

AB Title compds., including certain quinoline carboxamide and naphthyridine

L4 ANSWER 4 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
carboxamide derivs., I [wherein A = (un)substituted Ph or Ph fused to a carbocycle; L = a single bond, or (un)substituted alkyl, alkenyl, alkylcycloalkylalkyl, or alkyl-M-alkyl; M = NRa, OCO, or CO2; X = N or CQ1; Y = N or CQ2, provided that X and Y are not both N; Z1 = N or CQ3; Z2 = N or CQ4; Z3 = N or CH; Q1-Q4 = independently H, halo, CN, NR1CR1O, or (un)substituted alkyl, alkoxy, alkenyl, alkynyl, carbamoyl, carboximidamido, amino, etc.; or C2Q2Q3 = (un)substituted 5- or 6-membered carbocycle or heterocycle; R1 and R2 = independently H, OH, halo, NO2, CN, or (un)substituted alkyl, alkenyl, alkoxy, amino, sulfonylamino, etc.; R3 and R4 = independently H, halo, CN, NO2, OH, alkenyl, or (un)substituted alkyl, amino, sulfonylamino, etc.; R5 = H, CN, CN, or (un)substituted alkyl or aryl; Ra = independently H or (halo)alkyl; or pharmaceutically acceptable salts thereof] were prepd. I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of infection by HIV and the treatment of AIDS, as compds. or pharmaceutically acceptable salts, or as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics, or vaccines. For example, Mitsunobu reaction of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate with Me N-[(4-methylphenyl)sulfonyl]glycinate, followed by cyclization in the presence on NaOMe, afforded Me 8-hydroxy-1,6-naphthyridine-7-carboxylate. Coupling with 3,5-dichlorobenzylamine in toluene gave II. Representative compds. were assayed for the inhibition of acute HIV infection of T-lymphoid cells and demonstrated IC95 values of < 20 .mu.M.

IT **1924-77-2**, 2-Phenylbenzylamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)

RN 1924-77-2 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine (9CI) (CA INDEX NAME)



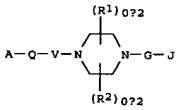
L4 ANSWER 5 OF 111 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:256243 CAPLUS
DOCUMENT NUMBER: 136:294851
TITLE: Preparation of piperazine (hetero)aryl ketones and sulfones as factor Xa inhibitors for treatment of thrombosis or coagulation disorders
INVENTOR(S): Zhu, Bing-Yan; Jia, Zhaozhong Jon; Zhang, Penglie; Huang, Wenrong; Wu, Yanhong; Zuckett, Jingmei Fan; Goldman, Erik A.; Wang, Lingyan; Song, Yonghong; Scarborough, Robert M.
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026720	A2	20020404	WO 2001-US30315	20011001

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-236161P P 20000929
OTHER SOURCE(S): MARPAT 136:294851
GI



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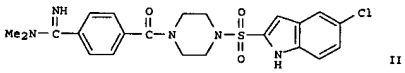


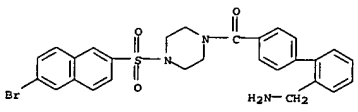
II

AB Title compds. I [wherein A = (un)substituted imidazolinyl, tetrahydropyrimidinyl, tetrahydro-1H-1,3-diazepinyl, imidamido(alkyl), guanidinyl, amino(alkyl), ammoniomethyl, Ph, pyridinyl, etc.; Q =

L4 ANSWER 5 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
(un)substituted phenylene, pyrimidinediyl, pyridinediyl, pyrazinediyl, pyrroldiyl, furandiyl, thiophenediyl, piperidinediyl, or pyrrolidinediyl; V = CH2 or CO; G = CO or SO2; J = (un)substituted naphthyl, (iso)quinolinyl, quinazolinyl, indolyl, benzothiophenyl, benzofuranyl, benzimidazolyl, benzothiazolyl, benzoxazolyl, etc.; R1 and R2 = independently H, alkyl, hydroxyalkyl, aminoalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, or carbamoylalkyl; and pharmaceutically acceptable isomers, salts, hydrates, solvates, and prodrugs thereof] were prepd. For example, 1-Boc-5-chloro-2-indolylsulfonyl chloride was coupled with 1-Boc-piperazine in DCM in the presence of pyridine to give the sulfonamide (95%). Deprotection using HCl gas (99%), followed by acylation with 4-cyanobenzoyl chloride in pyridine in the presence of DMAP (73%) and treatment with HCl and dimethylamine, afforded II. I are highly selective inhibitors of factor Xa and are useful for the treatment of diseases characterized by undesired thrombosis or coagulation disorders (no data).

IT **406719-20-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(factor Xa inhibitor; prepn. of piperazine (hetero)aryl ketones and sulfones as factor Xa inhibitors for treatment of thrombosis or coagulation disorders)

RN 406719-20-8 CAPLUS
CN Piperazine, 1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]carbonyl]-4-[(6-bromo-2-naphthalenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 111 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:51416 CAPLUS
DOCUMENT NUMBER: 136:102196
TITLE: Biphenylcarboxylic acid amides as inhibitors of microsomal triglyceride transfer protein
INVENTOR(S): Priepke, Henning; Hauel, Norbert; Thomas, Leo; Mark, Michael; Dahmann, Georg
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

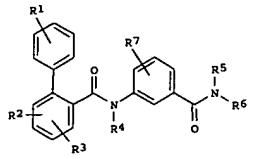
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004403	A1	20020117	WO 2001-EP7627	20010704

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

DE 10033337	A1	20020117	DE 2000-10033337	20000708
AU 2001067583	A5	20020121	AU 2001-67583	20010704
US 2002032238	A1	20020314	US 2001-899884	20010706

PRIORITY APPLN. INFO.: DE 2000-10033337 A 20000708
US 2000-220115P P 20000724
WO 2001-EP7627 W 20010704
OTHER SOURCE(S): MARPAT 136:102196
GI

L4 ANSWER 6 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



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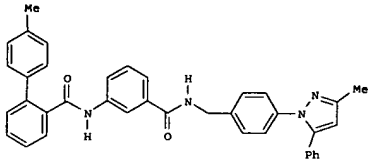


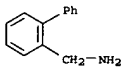
II

AB Biphenylcarboxamides I [R1, R2, R3 = H, F, Cl, Br, alkyl, fluoroalkyl, OH, alkoxy, (un)substituted NH2; R1R2 = 2,2'-CO; R4, R5 = H, alkyl; R6 = H, alkyl, (un)substituted NH2; NR5R6 = heterocyclic; R7 = H, F, Cl, Br, I, alkyl, alkoxy, NO2, amino] were prepd. for use as inhibitors of the microsomal triglyceride transfer protein with IC50 .ltoreq. 100.mu.M. Thus, the amide II was prepd. from 2-(4-MeC6H4)C6H4CONHC6H4COCl-3 and the pyrazolylbenzylamine. The latter compd. was obtained by reaction of 4-NCC6H4NHNH2 with PhCOCH2COMe and redn. of the cyano group. The acid chloride was obtained by treating 3-H2NC6H4CO2Et with 2-(4-MeC6H4)C6H4COCl, ester hydrolysis and conversion to the chloride.

IT **1924-77-2**, 2-Phenylbenzylamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of biphenylcarboxylamides as inhibitors of microsomal triglyceride transfer protein)

RN 1924-77-2 CAPLUS

CN [1,1'-Biphenyl]-2-methanamine (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 6 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE

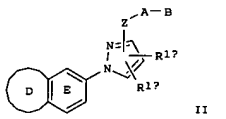
FORMAT

L4 ANSWER 7 OF 111 CAPLUS COPYRIGHT 2002 ACS

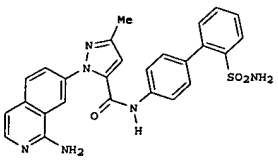
ACCESSION NUMBER: 2002:39605 CAPLUS
DOCUMENT NUMBER: 136:102380
TITLE: Preparation of novel guanidine mimics as factor Xa inhibitors
INVENTOR(S): Lam, Patrick Y.; Clark, Charles G.; Domingues, Celia; Fevig, John M.; Han, Qi; Li, Renhua; Pinto, Donald J. P.; Pruitt, James R.; Quan, Mimi L.
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: U.S., 117 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6339099	B1	20020115	US 1998-99358	19980618
US 2002025963	A1	20020228	US 2001-924381	20010808
PRIORITY APPLN. INFO.:			US 1997-50265P P	19970620
			US 1998-99358 A3	19980618

OTHER SOURCE(S): MARPAT 136:102380
GI



II



II

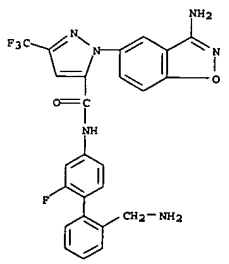
AB The title compds. [I; ring D = 5-membered arom. system contg. from 1-2 heteroatoms selected from N, O, S; ring D is substituted with 0-2 R groups; ring E contains 0-2 N atom and is substituted by 0-1 R groups; R = Cl, F, Br, I, OH, alkoxy, amino(alkyl), (alkyl)amino; Z = bond, alkylene, (CH2)rO(CH2)r, (CH2)rNR3(CH2)r, (CH2)rC(O)(CH2)r, (CH2)rC(O)O(CH2)r, (CH2)rOC(O)(CH2)r, (CH2)rC(O)NR3(CH2)r, etc. provided that Z does not form a N-N, N-O, N-S, NCH2N, NCH2O, or NCH2S bond with ring M or group A; R1a-1b = H, alk(en)yl, aminoalkyl, alkoxy, alternatively, R1a-1b, when attached to adjacent carbon atoms, together with the atoms to which they

L4 ANSWER 7 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
are attached form a 5-8 membered (un)satd. ring (un)substituted and which contains from 0-2 heteroatoms selected from the group consisting of N, O, and S; alternatively, when Z is C(O)NH and R1a is attached to a ring carbon adjacent to Z, then R1a is a C(O) which replaces the amide hydrogen of Z to form a cyclic imide; R3 = H, alkyl, phenyl; A = (un)substituted carbocyclic, 5-10 membered heterocyclic system contg. 1-4 heteroatoms selected from N, O, S; B = H, Y, X-Y; X = sulfonylalkyl, alkylsulfonyl, sulfonamide, etc.; Y = alkylamino, provided that X-Y does not form a N-N, O-N or S-N bond, carbocyclic group, 5-10 membered heterocyclic r = 0-3], inhibitors of factor Xa which are useful in treating and preventing a thromboembolic disorder, were prepd. and formulated. Thus, a multi-step synthesis of the title compd. II, starting with 7-aminoisoquinoline, was described. A no. of compds. I were found to exhibit a Ki of .ltoreq. 15 .mu.M against factor Xa.

IT **218299-10-6P 218300-94-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel guanidine mimics as factor Xa inhibitors)

RN 218299-10-6 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(3-amino-1,2-benzisoxazol-5-yl)-N-[2'-(aminomethyl)-2-fluoro[1,1'-biphenyl]-4-yl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



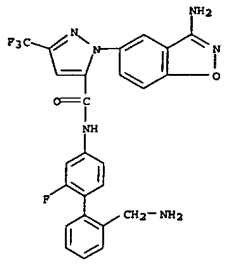
RN 218300-94-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(3-amino-1,2-benzisoxazol-5-yl)-N-[2'-(aminomethyl)-2-fluoro[1,1'-biphenyl]-4-yl]-3-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 218299-10-6
CMF C25 H18 F4 N6 O2

L4 ANSWER 7 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

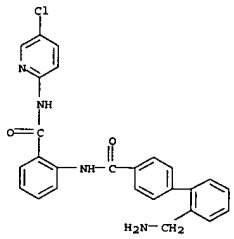
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:11104 CAPLUS
DOCUMENT NUMBER: 136:69743
TITLE: Preparation of pyridyl benzamides and related compounds as Factor Xa inhibitors.
INVENTOR(S): Zhu, Bing-Yan; Zhang, Penglie; Wang, Lingyan; Huang, Wenrong; Goldman, Erick A.; Li, Wenhao; Zuckett, Jingmei; Song, Yonghong; Scarborough, Robert
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 259 pp., Cont.-in-part of U.S. Ser. No. 663,420.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002002183	A1	20020103	US 2001-794225	20010228
US 6376515	B2	20020423		

PRIORITY APPLN. INFO.: US 2000-185746P P 20000229
US 2000-663420 A2 20000915
OTHER SOURCE(S): MARPAT 136:69743
AB AQDEGJX [A = alkyl, cycloalkyl, NR1R2, NR1R2C(:NR3), (substituted) Ph, naphthyl, heterocyclyl, etc.; R1-R3 = H, OR5, NR5R6, alkyl, alkenyl, etc.;
R1R2 or R2R3 = atoms to form (substituted) cycloalkyl, heterocyclyl; R5, R6 = H, alkyl, alkenyl, alkynyl, cycloalkyl, (substituted) alkylphenyl, alkylnaphthyl; R5R6 = atoms to form a 3-8 membered (substituted) ring; Q =
bond, CH2, CO, O, S, SO, SO2, NR7, SO2NR7, etc.; R7 = H, alkyl, alkenyl, alkynyl, cycloalkyl, alkylcycloalkyl, (substituted) alkylphenyl, alkylnaphthyl; D = bond, (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl; E = bond, alkyl, O, S, SO, SO2, alkylcarbonyl, etc.; G = (substituted) alkenyl, cycloalkenyl, phenylene, 3-8 membered (fused) (arom.) heterocyclyl; J = bond, NR9CO, O, S, SO, SO2, CH2, NR9SO2, etc.; X
= (substituted) Ph, naphthyl, (fused) heteroaryl], were prepd. as antithrombotics (no data). Thus, N-(5-bromo-2-pyridinyl)-2-aminophenylcarboxamide (prepn. given), 4-cyanobenzoyl chloride, and pyridine were stirred overnight in CH2Cl2 to give 70% N-(5-bromo-2-pyridinyl)-[2-(4-cyanophenylcarbonyl)amino]phenylcarboxamide. The latter in MeOH at 0.degree. was satd. with HCl and stirred overnight followed by solvent evapn. The residue was refluxed 2 h with NH4OAc in MeOH to give 70%
N-(5-bromo-2-pyridinyl)-[2-(4-amidinophenylcarbonyl)amino]phenylcarboxamide.
IT 330940-99-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridyl benzamides and related compds. as Factor Xa inhibitors)
RN 330940-99-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 2'-(aminomethyl)-N-[2-[[(5-chloro-2-

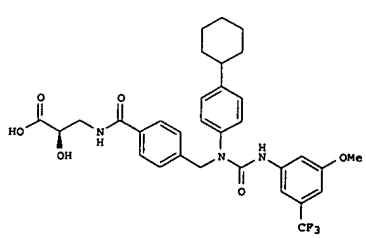
L4 ANSWER 8 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
pyridinyl)amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:10432 CAPLUS
DOCUMENT NUMBER: 136:85669
TITLE: Preparation of (e.g.) N-alkylaryl-N-aryl-N'-aryl ureas as glucagon antagonists/inverse agonists
INVENTOR(S): Jorgensen, Anker Steen; Christensen, Inge Thoger; Kodra, Janos Tibor; Madsen, Peter; Behrens, Carsten; Sams, Christian; Lau, Jesper
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 201 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000612	A1	20020103	WO 2001-DK435	20010621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001065834	A5	20020108	AU 2001-65834	20010621

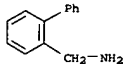
PRIORITY APPLN. INFO.: DK 2000-984 A 20000623
DK 2000-1734 A 20001117
WO 2001-DK435 W 20010621
OTHER SOURCE(S): MARPAT 136:85669
GI



II

AB Title compds. R1OC(O)-A-CR2R3-N(R4)-C(O)-Z-CHR5-N(E)-X-D [R1-5 = H, alkyl;

L4 ANSWER 9 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
A = C(O), CH-alkoxy, CHF; Z = (un)substituted arylene or a divalent radical derived from a 5 or 6 membered heteroarom. ring contg. 1 or 2 heteroatoms selected from N, O and S; X = alkyl, acyl, amido, etc.; D = (un)substituted Ph, naphthyl, pyridyl, benzothiophenyl, etc.; E = (un)substituted cyclohexyl, Ph, benzyl, phenethyl, etc.; I] were prepd. Examples include data for 73 compds., two glucagon receptor binding assays
and a glucose-dependent insulinotropic peptide (GIP) receptor binding assay. E.g., 4-cyclohexylaniline was reductively alkylated with 4-formyl benzoic acid Me ester (MeOH, HOAc, NaCNBH3) in 87% yield. The amine was added to an isocyanate derived from 5-methoxy-3-trifluoromethylaniline (prepn. given; CH2Cl2, room temp.) to give a urea as an oil that was sapond. (EtOH, NaOH, room temp., 16 h) to give the solid carboxylic acid in 49% yield. The carboxylic acid was coupled to (R)-isoserine Et ester (DMF, HOBt, EDAC) followed by hydrolysis to give example compd. II as a cryst. solid. In a glucagon receptor binding assay, compds. of the invention had IC50 < 1500 nM and many were below 250 nM. I are useful in the treatment or prevention of any diseases wherein a glucagon antagonistic action is beneficial, such as hyperglycemia, type 1 diabetes,
type 2 diabetes, disorders of lipid metab. and obesity.
IT **1924-77-2**, [1,1'-Biphenyl]-2-methanamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of N-alkylaryl-N-aryl-N'-aryl ureas as glucagon antagonists/inverse agonists)
RN 1924-77-2 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

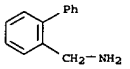
L4 ANSWER 10 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:923746 CAPLUS
DOCUMENT NUMBER: 136:53533
TITLE: Preparation of carbamoyl keto acid tautomers as HIV integrase inhibitors for treatment of AIDS or ARC
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Walker, Michael, A.; Johnson, Timothy, D.; Meanwell, Nicholas, A.; Banville, Jacque
SOURCE: PCT Int. Appl., 254 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096283	A2	20011220	WO 2001-US19476	20010618
WO 2001096283	A3	20020502		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-211900P P 20000616
OTHER SOURCE(S): MARPAT 136:53533
AB The present invention relates to the inhibition of HIV integrase, and to the treatment of AIDS or ARC by administering R4aR3R2CZNB2R1 (1), e.g. 3-[[4-(4-fluorobenzyloxy)benzyl]methylcarbamoyl]-2-hydroxyacrylic acid. In 1, R1 = C1-C4 alkyl, carbocyclic radical, heterocyclic radical, aryl-C1-C2 alkylene, aryloxy-C1-C2 alkylene, alkoxy-CC(O)-, wherein R1 is optionally substituted from 1-3 times with halo, C1-C2 alkyl or C1-C2 alkoxy, or R1 is H; R2 = H or C1-C4 alkyl; R3 = H, C1-C4 alkyl or phenyl-C0-C2 alkylene which is optionally substituted with 1-3 R5; R4a = carbocyclic radical, heterocyclic radical, aryloxy, aryl-C1-C4 alkylene, aryl-cyclopropylene, aryl-NHC(O)-, wherein R4a is optionally substituted with 1-3 R5; and wherein each R5 is independently selected from H, halo, C1-C4 alkyl, C1-C4 alkenyl, C1-C4 haloalkyl, C1-C4 alkoxy, R6-Ph, R6-phenoxy, R6-benzyl, R6-benzyloxy, NH2C(O)-, alkyl-NHC(O)-, wherein R6 is H, halo; Z = bond or substituted or unsubstituted C1-C4 alkylene group;
and B2 -C(O)CH:C(OH)CO2H, -C(O)CH2C(O)CO2H or -C(OH):CHC(O)CO2H. Values for percent inhibition of HIV Integrase at 70 .mu.M are reported for about
100 of the claimed compds. Although the methods of prepn. are not claimed, >90 example prepns. are included.
IT **1924-77-2**, C-Biphenyl-2-ylmethylamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of carbamoyl keto acid tautomers as HIV integrase inhibitors for treatment of AIDS or ARC)
RN 1924-77-2 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



L4 ANSWER 11 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:661392 CAPLUS
DOCUMENT NUMBER: 135:226888
TITLE: Preparation of pyridyl benzamides and related compounds as Factor Xa inhibitors.
INVENTOR(S): Zhu, Bing-yan; Zhang, Penglie; Wang, Lingyan; Huang, Wenrong; Goldman, Erick; Li, Wenhao; Zuckett, Jingmei;
Song, Yonghong; Scarborough, Robert
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 322 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064643	A2	20010907	WO 2001-US6255	20010228
WO 2001064643	A3	20020404		

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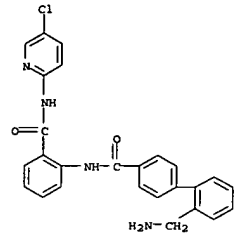
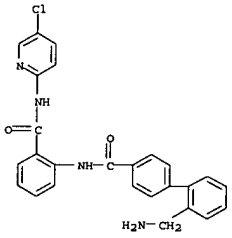
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-185746P P 20000229
US 2000-663420 A 20000915
OTHER SOURCE(S): MARPAT 135:226888
AB AQDEGJX [A = alkyl, cycloalkyl, NR1R2, NR1R2C(:NR3), (substituted) Ph, naphthyl, heterocyclyl, etc.; R1-R3 = H, OR5, NR5R6, alkyl, alkenyl, etc.;
R1R2 or R2R3 = atoms to form (substituted) cycloalkyl, heterocyclyl; R5, R6 = H, alkyl, alkenyl, alkynyl, cycloalkyl, (substituted) alkylphenyl, alkylnaphthyl; R5R6 = atoms to form a 3-8 membered (substituted) ring; Q =
bond, CH2, CO, O, S, SO, SO2, NR7, SO2NR7, etc.; R7 = H, alkyl, alkenyl, alkynyl, cycloalkyl, alkylcycloalkyl, (substituted) alkylphenyl, alkylnaphthyl; D = bond, (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl; E = bond, alkyl, O, S, SO, SO2, alkylcarbonyl, etc.; G = (substituted) alkenyl, cycloalkenyl, phenylene, 3-8 membered (fused) (arom.) heterocyclyl; J = bond, NR9CO, O, S, SO, SO2, CH2, NR9SO2, etc.; X
= (substituted) Ph, naphthyl, (fused) heteroaryl], were prepd. as antithrombotics (no data). Thus, N-(5-bromo-2-pyridinyl)-2-aminophenylcarboxamide (prepn. given), 4-cyanobenzoyl chloride, and pyridine were stirred overnight in CH2Cl2 to give 70% N-(5-bromo-2-pyridinyl)-[2-(4-cyanophenylcarbonyl)amino]phenylcarboxamide. The latter in MeOH at 0.degree. was satd. with HCl and stirred overnight followed by solvent evapn. The residue was refluxed 2 h with NH4OAc in MeOH to give 70%
N-(5-bromo-2-pyridinyl)-[2-(4-amidinophenylcarbonyl)amino]phenylcarboxamide.
IT **330940-99-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L4 ANSWER 11 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridyl benzamides and related compds. as Factor Xa inhibitors)
RN 330940-99-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 2'-(aminomethyl)-N-[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN [1,1'-Biphenyl]-4-carboxamide, 2'-(aminomethyl)-N-[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:661391 CAPLUS
DOCUMENT NUMBER: 135:210946
TITLE: Preparation of pyridylamides as Factor Xa inhibitors.
INVENTOR(S): Zhu, Bing-yan; Zhang, Penglie; Wang, Lingyan; Huang, Wenrong; Goldman, Erick; Li, Wenhao; Zuckett, Jingmei; Song, Yonghong; Scarborough, Robert
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064642	A2	20010907	WO 2001-US6247	20010228
WO 2001064642	A3	20020502		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

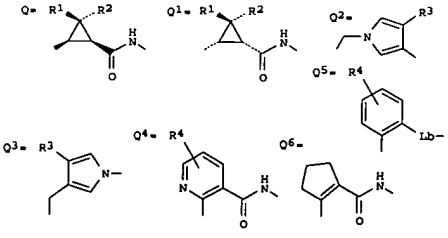
PRIORITY APPLN. INFO.: US 2000-185746P P 20000229
US 2000-663420 A 20000915
OTHER SOURCE(S): MARPAT 135:210946
AB AQDEGJX [A = alkyl, cycloalkyl, NR1R2, NR1R1C(:NR3), (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl, etc.; R1-R3 = H, alkyl, alkenyl, alkynyl, cycloalkyl, (alkyl)aryl, (alkyl)heteroaryl, etc.; R1R2 or R2R3 = atoms to form a 3-8 membered (substituted) (heterocyclic) ring; Q = bond, CH2, CO, O, NR7, etc.; R7 = H, alkyl, (alkyl)aryl, (alkyl)heteroaryl, etc.; D = bond, (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl; E = bond, alkyl, S, SO, SO2, alkoxy, etc.; G = (substituted) alkenyl, cycloalkenyl, phenylene, heterocyclyl, fused cyclic system; J = bond, NR9CO, O, S, SO, SO2, SO2NR9, CH2, NR9, etc.; R9 = H, alkyl, (alkyl)aryl, etc.; X = (substituted) Ph, naphthyl, heteroaryl, fused bicyclyl], were prepd. as antithrombotics (no data). Thus, N-(5-bromo-2-pyridinyl) 2-aminophenylcarboxamide (prepn. given), 4-[(2-tert-butylaminosulfonyl)phenyl]benzoyl chloride, and pyridine were stirred overnight in CH2Cl2 to give 85% N-(5-bromo-2-pyridinyl)-[2-4-[(2-aminosulfonyl)phenyl]phenylcarbonylamino]phenylcarboxamide.
IT **330940-99-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridylamides as Factor Xa inhibitors)
RN 330940-99-3 CAPLUS

L4 ANSWER 13 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:565039 CAPLUS
DOCUMENT NUMBER: 135:153111
TITLE: Preparation of aryl-amidines and derivatives, and prodrugs thereof as factor Xa inhibitors
INVENTOR(S): Kang, Myung-Gyun; Park, Doo-Hee; Kwon, Oh-Hwan; Kim, Eunice Eun-Kyeong; Hwang, Kwang-Yeon; Heo, Yong-Seok; Park, Tae-Kyo; Lee, Tae-Hee; Moon, Kwang-Yul; Park, Jong-Woo; Chang, Hye-Kyung; Lee, Sang-Koo; Lee, Sun-Hwa; Park, Su-Kyung; Lee, Sung-Hack; Park, Hee-Dong
PATENT ASSIGNEE(S): LG Chem Investment Ltd., S. Korea
SOURCE: PCT Int. Appl., 177 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055146	A1	20010802	WO 2001-KR13	20010104

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: KR 2000-4458 A 20000129
KR 2000-6354 A 20000211
KR 2000-7487 A 20000217
KR 2000-7489 A 20000217
OTHER SOURCE(S): MARPAT 135:153111
GI

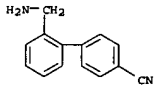


AB The aryl-amidines, particularly amidinoaryl-cyclopropanes,

L4 ANSWER 13 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
amidinoarylmethyl-pyrroles, amidinoaryl-benzenes, amidinoaryl-pyridines, or amindonoaryl-alanines, represented by formula G-A(D)-A-L-P[(X)n]-Q(Y)Z [wherein Ar = benzene, pyridine, thiophene, naphthalene, isoquinoline; G = R, F, Cl, Br, iodo, cyano, OR, O2CR, CO2R, CONR2 (wherein R = H, linear, branched, cyclic or branched cyclic C1-10 alkyl); A = Q-Q6, CH2 CHR5CONH, CH2CHR5CH2O, CH2CHR6NHCO (wherein R1, R2 = F, Cl, Br, iodo, R, CH2O R, CH2O2CR, CO2R, CONR2, CON(CH2)m (m = 2-7), CO-morpholine, etc.; R3 = group listed in R2, CONH(amino acid or its ester or amide), etc.; R4 = F, Cl, Br, iodo, cyano, OR, R; R5 = NR2, NR(COR), NR (CH2)m1 CO2R (m1 = 0-3), etc.; R6 = CO2R, CONR2, CH2OR]; Lb= CONH, CONHCH2, CH2NHCO, NHCONH, etc.; D = NH2, CH2NH2, C(:NR7)NH2 (wherein R7 = H, OH, CO2R8, OR8, O2COR8; wherein R8 = Ph, CH2Ph, linear, branched, cyclic or branched cyclic C1-10 alkyl); L = (CH2)m2 (m2 = 0,1); P = benzene, pyridine, pyrrole, furan, thiophene, oxazole, isoxazole, imidazole, 1,2-diazole, thiazole, isothiazole, pyridazine, pyridazine, pyrimidine, pyrazine, naphthalene, etc.; n = 0-2; Q = H, benzene, pyridine, pyridine, pyrrole, furan, thiophene, oxazole, isoxazole, imidazole, 1,2-diazole, thiazole, isothiazole, etc.; Y, Z = R, F, Cl, Br, iodo, cyano, OR, CO2R, COR, CONR2, NR2, NR(COR), N(COR)2, CF3, OCF3, etc.], pharmaceutically acceptable salts, prodrugs, hydrates, solvates or isomers thereof are prepd. These compds. are inhibitors of coagulation enzyme, factor Xa (FXa). The present invention also relates to a pharmaceutical compn. contg. the above compd., and a method of using the same as an anticoagulant agent for treatment and prevention of thrombosis disorders. N-[4-(2-aminosulfonylphenyl)phenyl]-cis-2-(3-aminoiminomethylphenyl)cyclopropane-1-carboxamide monotrifluoroacetate, 4-{4-aminoiminomethylbenzyl)-1-(3-aminoiminomethylbenzyl)pyrrole-3-carboxamide bis(trifluoroacetate), 3-aminoiminomethylbenzyl 2-(3-aminoiminomethylphenyl)benzyl ether bis(trifluoroacetate), and (S)-N-{4-(2-aminosulfonylphenyl)benzoyl}-3-(3-aminoiminomethylphenyl)alanine Et ester trifluoroacetate in vitro inhibited FXa with Ki of 0.5, 0.12, 0.44, and 2 nM, resp., and thrombin with Ki of 2,900, 2.1, 5, and 620, resp., and exhibited the thrombin/FXa selectivity of 5,800, 18, 11, and 310, resp.

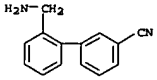
IT **352616-93-4P 352616-95-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of aryl-amidines and derivs., and prodrugs thereof as factor Xa inhibitors and anticoagulants for treatment of thrombosis disorders)

RN 352616-93-4 CAPLUS
CN [1,1'-Biphenyl]-4-carbonitrile, 2'-(aminomethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 352616-95-6 CAPLUS
CN [1,1'-Biphenyl]-3-carbonitrile, 2'-(aminomethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:526091 CAPLUS
DOCUMENT NUMBER: 135:92862
TITLE: Preparation of oligomers of nonpeptide restricted mimetics of dipeptides or tripeptides and their use in the synthesis of proteins and polypeptides
INVENTOR(S): Amblard, Muriel; Martinez, Jean; Berge, Gilbert
PATENT ASSIGNEE(S): Centre National de la Recherche Scientifique, Fr.
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051506	A2	20010719	WO 2001-FR88	20010111
WO 2001051506	A3	20020207		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2803594	A1	20010713	FR 2000-288	20000111
FR 2803594	B1	20020719		

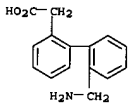
PRIORITY APPLN. INFO.: FR 2000-288 A 20000111
OTHER SOURCE(S): CASREACT 135:92862

AB Oligomers R1(NR'-A-CO)n-OR2 or R1(NR'-A-CO)nNR2'R'' [the units -NR'-A-CO- represent a nonpeptide, restricted-mimetic inducer of the .beta. turn in a dipeptide or tripeptide fragment; R1 is R3CO or R3O2C (R3 = benzyl, tert-Bu or 9-fluorenylmethyl); R2 is H, alkyl or benzyl; R' is H or forms a mono- or polycyclic with group A, which represents a heterocycle; n = 2-40; R2' and R2'' are H, alkyl or benzyl] were prepd. and applied to the synthesis of proteins and polypeptides. The synthetic proteins or polypeptides have peptide fragments which are identical to those of the corresponding natural protein or polypeptide and fragments which are oligomers according to the invention. Thus, Fmoc-(DBT)n-OH [Fmoc = 9-fluorenylmethoxycarbonyl; DBT is a residue derived from 3(S)-amino-5-(carboxymethyl)-2,3-dihydro-1,5-benzothiazepin-4(5H)-one; n = 8 or 9] and Fmoc-(A1)20-OH [A1 is a residue derived from 3(S)-amino-1-(carboxymethyl)pyrrolidin-2-one] were prepd. and applied to the synthesis of human corticotropin releasing factor (hCRF) analogs H-Ser-Glu-Glu-Pro-Pro-(DBT)n-Lys-Leu-Met-Glu-Ile-Ile-NH2 and H-Ser-Glu-Glu-Pro-Pro-(A1)20-Arg-Lys-Leu-Met-Glu-Ile-Ile-NH2.

IT **270927-48-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of oligomers of nonpeptide restricted mimetics of dipeptides or tripeptides and their use in the synthesis of proteins and polypeptides)

RN 270927-48-5 CAPLUS

L4 ANSWER 14 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN [1,1'-Biphenyl]-2-acetic acid, 2'-(aminomethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 46 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:352812 CAPLUS
DOCUMENT NUMBER: 129:28209
TITLE: Preparation of N-(aryl/heteroaryl/alkylacetyl) amino acid amides for inhibiting .beta.-amyloid peptide release and/or its synthesis
INVENTOR(S): Wu, Jing; Tung, Jay S.; Nissen, Jeffrey S.; Mabry, Thomas E.; Latimer, Lee H.; Eid, Clark Norman; Audia, James E.
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; Eli Lilly & Co.; Wu, Jing; Tung, Jay S.; Nissen, Jeffrey S.; Mabry, Thomas E.; Latimer, Lee H.; Eid, Clark Norman; Audia, James E.
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9822433	A1	19980528	WO 1997-US22231	19971121
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9852677	A1	19980610	AU 1998-52677	19971121
AU 729133	B2	20010125		
EP 946499	A1	19991006	EP 1997-947643	19971121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1238760	A	19991215	CN 1997-199988	19971121
BR 9713351	A	20000125	BR 1997-13351	19971121
JP 2001504498	T2	20010403	JP 1998-524018	19971121
NO 9902381	A	19990721	NO 1999-2381	19990518
US 6262302	B1	20010717	US 1999-398211	19990917

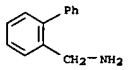
PRIORITY APPLN. INFO.: US 1996-754895 A 19961122
US 1997-807538 A 19970228
US 1996-98551P P 19961122
US 1997-113671P P 19970228
US 1997-976295 A1 19971121
WO 1997-US22231 W 19971121

OTHER SOURCE(S): MARPAT 129:28209

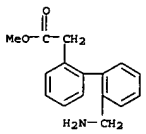
AB Amino acid amides R1ZCX'X''CONHCHR2CONR3R3' [R1 = alkyl, alkenyl, alkaryl, alkylcycloalkyl, aryl, cycloalkyl, cycloalkenyl, (un)subetituted heteroaryl, heterocyclyl, Ph, benzyl, 1- or 2-naphthyl; R2 = H, alkyl, alkylalkoxy, alkylthioalkoxy; R3, R3' = H, (un)substituted alkyl, X', X'' = H, OH, F or X'X'' = oxo; Z = bond, O, S] were prepd. as inhibitors of .beta.-amyloid peptide release and/or its synthesis. Thus, N-(3-hydroxyphenyl)-N'-(phenylacetyl)-L-alaninamide was prepd. by coupling of N-(phenylacetyl)-L-alanine with 3-hydroxyaniline using EDC and 1-hydroxybenzotriazole.

IT **1924-77-2**, [1,1'-Biphenyl]-2-methanamine **208124-62-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of N-(aryl/heteroaryl/alkylacetyl) amino acid amides for inhibiting .beta.-amyloid peptide release and/or its synthesis)

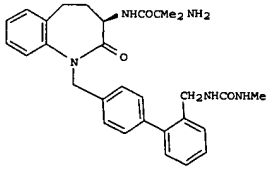
RN 1924-77-2 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine (9CI) (CA INDEX NAME)



RN 208124-62-3 CAPLUS
CN [1,1'-Biphenyl]-2-acetic acid, 2'-(aminomethyl)-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 47 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:270300 CAPLUS
DOCUMENT NUMBER: 129:76916
TITLE: A Potent, Orally Bioavailable Benzazepinone Growth Hormone Secretagogue
AUTHOR(S): DeVita, Robert J.; Bochis, Richard; Frontier, Alison J.; Kotliar, Andrew; Fisher, Michael H.; Schoen, William R.; Wyvratt, Matthew J.; Cheng, Kang; Chan, Wanda W.-S.; Butler, Bridget; Smith, Roy G.; Jacks, Thomas M.; Hickey, Gerard J.; Schleim, Klaus D.; Leung, Kwan; Chen, Zhesheng; Chiu, S.-H. Lee; Feeney, William P.; Cunningham, Paul K.
CORPORATE SOURCE: Departments of Medicinal Chemistry Biochemistry Physiology Drug Metabolism, Merck Research Laboratories, Rahway, NJ, 07065-0900, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(10), 1716-1728
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB L-739,943 (I), a potent, orally bioavailable benzolactam growth hormone secretagogue, is obtained from zwitterionic L-692,429 through modification of its amino acid side chain and replacement of the acidic 2'-tetrazole with the neutral and potency enhancing 2'-(N-methylaminocarbonylamino)methyl substituent. I is orally active for the release of growth hormone in beagle dogs at doses as low as 0.5 mg/kg. Oral bioavailability in dogs of I is 24% at a dose of 2 mg/kg with a mean drug Cmax of 145 .+-. 46 ng/mL. I represents a significant breakthrough in terms of both potency and oral bioavailability as compared to the prototype benzolactam L-692,429.

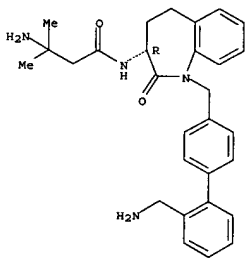
IT **209400-01-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(a potent ureidobiphenylylbenzazepinone oral growth hormone secretagogue)

RN 209400-01-1 CAPLUS
CN Butanamide, 3-amino-N-[(3R)-1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-3-yl]-3-methyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 145484-90-8
CMF C29 H34 N4 O2
CDES 1:R

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT **162356-96-9P 195248-07-8P 197652-11-2P 197652-38-3P 209399-98-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(a potent ureidobiphenylylbenzazepinone oral growth hormone secretagogue)

RN 162356-96-9 CAPLUS
CN Carbamic acid, [3-[[(3R)-1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-3-yl]amino]-1,1-dimethyl-3-oxopropyl]-, phenylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

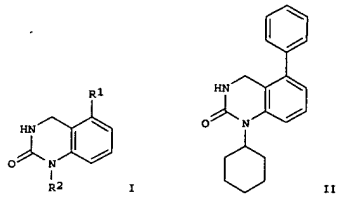
CM 1

L4 ANSWER 15 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:396672 CAPLUS
DOCUMENT NUMBER: 135:19649
TITLE: 3,4-Dihydro-(1H)-quinazolin-2-ones and their use as CSBP/p38 kinase inhibitors
INVENTOR(S): Adams, Jerry L.; Bower, Michael J.; Hall, Ralph F.; Griswold, Don E.; Underwood, David C.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001037837	A1	20010531	WO 2000-US31908	20001121

W: AE, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CZ, DZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

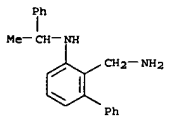
PRIORITY APPLN. INFO.: US 1999-167113P P 19991123
OTHER SOURCE(S): MARPAT 135:19649
GI



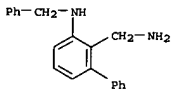
I II

AB Novel substituted quinazoline compds. are disclosed, specifically I [R1 = (un)substituted Ph, naphthyl, heterocyclyl or heteroaryl; R2 = (un)substituted alkyl, cycloalk(en)yl(alkyl), (hetero)aryl(alkyl), or heterocyclyl(alkyl)] and their pharmaceutically acceptable salts. Also disclosed are pharmaceutical compns. contg. I, and use of I in therapy as CSBP/RK/p38 kinase inhibitors. Applications of I as such to a wide variety of arthritic, inflammatory, proliferative, and viral conditions are specifically claimed. Also claimed is use of I in conjunction with various other drugs or drug classes. Fourteen examples of I were prepd.

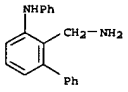
L4 ANSWER 15 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



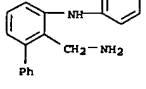
RN 342427-97-8 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine, 3-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 342427-99-0 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine, 3-(phenylamino)- (9CI) (CA INDEX NAME)

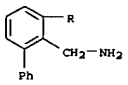


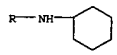
RN 342428-03-9 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine, 3-[(2-methylphenyl)amino]- (9CI) (CA INDEX NAME)



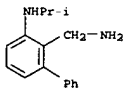
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 15 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
and specifically claimed. For instance, 2-bromo-6-fluorobenzonitrile underwent Pd-catalyzed coupling with phenylboronic acid, and the resulting 2-fluoro-6-phenylbenzonitrile underwent condensation with cyclohexylamine, redn. of the nitrile to aminomethyl using LiAlH4, and cyclocondensation with phosgene, give title compd. II. Representative compds. I had IC50 values < 50 .mu.M in a CSBP/p38 kinase assay.
IT **342427-91-2P 342427-93-4P 342427-95-6P**
342427-97-8P 342427-99-0P 342428-03-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of dihydroquinazolinones as CSBP/RK/p38 kinase inhibitors)
RN 342427-91-2 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine, 3-(cyclohexylamino)- (9CI) (CA INDEX NAME)





RN 342427-93-4 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine, 3-[(1-methylethyl)amino]- (9CI) (CA INDEX NAME)

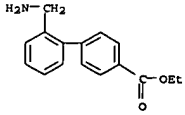


RN 342427-95-6 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine, 3-[(1-phenylethyl)amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:331328 CAPLUS
DOCUMENT NUMBER: 134:326766
TITLE: Preparation of amino acid derivatives of aminobenzoic and aminobiphenylcarboxylic acids as anti-cancer agents
INVENTOR(S): Blood, Christine H.; Neustadt, Bernard R.; Smith, Elizabeth M.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 29 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6228985	B1	20010508	US 1998-82787	19980521

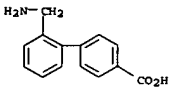
OTHER SOURCE(S): MARPAT 134:326766
AB Compds. Q-NH(CH2)nC6H4C6H4CO-R or Q-NH(CH2)nC6H4CO-R [n is 0 or 1; R is NH2 or NHCHR1R2, where R1, R2 = H, alkyl, aralkyl, heteroaralkyl, carboxy, carboxyalkyl, carbamoyl; Q is R3C(O) or R4CONHCHR5CO, where R5 = H, alkyl, aralkyl, heteroaralkyl, carbamoylalkyl; R3, R4 = H, alkyl, alkoxy, arylalkoxy, aralkyl, heteroaralkyl, carbamoylalkyl (substituents in the biphenylcarboxylic and benzoic acids may not be in ortho,ortho'- and ortho-positions, resp.)] or biolabile esters or pharmaceutically acceptable salts were prepd. The compds. are useful for treating urokinase-type plasminogen activator (uPA) or urokinase-type plasminogen activator receptor (uPAR)-mediated disorders, e.g., tumor metastasis, tumor angiogenesis, restenosis, chronic inflammation, or corneal angiogenesis. Thus, N-[4-[4-[(3-indolylacetyl)amino]phenyl]benzoyl]-L-phenylalanine was prepd. by the solid-phase method and showed IC50 = 20 nM for binding of radioligand c-[125I-Tyr24]-ATFp.
IT **336103-22-1P 336103-24-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of amino acid derivs. of aminobenzoic and aminobiphenylcarboxylic acids as anti-cancer agents)
RN 336103-22-1 CAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 2'-(aminomethyl)-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 16 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 336103-24-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 2'-(aminomethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

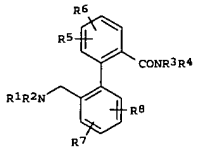
FORMAT

L4 ANSWER 17 OF 111 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:239812 CAPLUS
DOCUMENT NUMBER: 134:280606
TITLE: Preparation of 2'-aminomethylbiphenyl-2-carboxamides as Kv1.5 potassium channel blockers.
INVENTOR(S): Brendel, Joachim; Schmidt, Wolfgang; Below, Peter
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: Ger. Offen., 28 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19947457	A1	20010405	DE 1999-19947457	19991002
WO 2001025189	A1	20010412	WO 2000-EP9151	20000919
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
BR 2000014465	A	20020611	BR 2000-14465	20000919
EP 1222163	A1	20020717	EP 2000-967703	20000919
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
NO 2002001398	A	20020531	NO 2002-1398	20020320

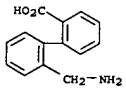
PRIORITY APPLN. INFO.: DE 1999-19947457 A 19991002
WO 2000-EP9151 W 20000919
OTHER SOURCE(S): MARPAT 134:280606
GI



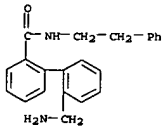
I

AB Title compds. [I; R1 = CO2R9, SO2R10, COR11, CONR12R13, CSNR12R13; R9, R10, R11, R12 = CmH2mR14; m = 0-4; R14 = (F-substituted) alkyl,

L4 ANSWER 17 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
cycloalkyl, (substituted) Ph, naphthyl, furyl, etc.; m .noteq. 0 if R14 = alkoxy, cycloalkoxy, SO2Me, OCF3; R13 = H, alkyl; R2 = H, alkyl; R3 = CnH2nR16, n = 0-4; n .noteq. 0 if R16 = OR17, SO2Me; R17 = H, alkyl, cycloalkyl, CF3, (substituted) Ph, etc., R16 = (F-substituted) alkyl, cycloalkyl, (substituted) Ph, naphthyl, furyl, etc.; R4 = H, alkyl, etc.; R5, R6, R7, R8 = H, halo, CF3, NO2, cyano, etc.] were prepd. Thus, 2'-aminomethylbiphenyl-2-(N-phenethyl)carboxamide (prepn. given) and NaHCO3 in dioxane and H2O were treated dropwise with 4-trifluoromethylbenzyl-N-succinimide carbonate (prepn. given) in dioxane followed by 12 h stirring at room temp. to give 2'-(4-trifluoromethylbenzyloxycarbonylaminomethyl)-biphenyl-2-(N-phenethyl)carboxamide. Tested I inhibited Kv1.5 potassium flow with IC50 = 0.3-6.1 .mu.M. .beta.-Blockers and IKs-channel blockers can be used for
the tablet formulation.
IT **31638-34-3P 332378-25-3P 332378-27-5P**
332378-29-7P 332378-31-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of aminomethylbiphenylcarboxamides as Kv1.5 potassium channel blockers)
RN 31638-34-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 2'-(aminomethyl)- (9CI) (CA INDEX NAME)

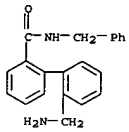


RN 332378-25-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-(aminomethyl)-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

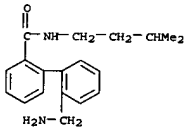


RN 332378-27-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-(aminomethyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

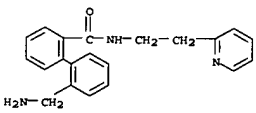
L4 ANSWER 17 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 332378-29-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-(aminomethyl)-N-(3-methylbutyl)- (9CI) (CA INDEX NAME)



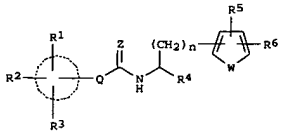
RN 332378-31-1 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-(aminomethyl)-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:232516 CAPLUS
DOCUMENT NUMBER: 134:275760
TITLE: Medicine compositions for treatment of integrin .alpha.4-mediated cell adhesion-associated diseases
INVENTOR(S): Sircar, Ila; Gudmundsson, Kristjan S.; Martin, Richard
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 88 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001089368	A2	20010403	JP 2000-216898	20000718

PRIORITY APPLN. INFO.: JP 1999-204581 A 19990719
OTHER SOURCE(S): MARPAT 134:275760
GI

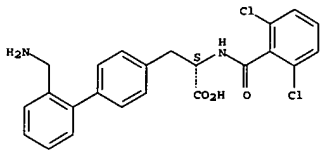


I

AB The medicine compns. (I; A = arom. hydrocarbon ring; Q = binding linkage; N = 0, 1, 2; W = O, S, -CH=CH-, -N=CH-; Z = O, S; R1, R2, R3 = H, halogen, (substituted)low alkyl; R4 = tetrazolyl, carboxyl, etc.; R5 = H, nitro, (substituted)amino, OH low alkanoyl, etc.; R6 = (substituted)phenyl, etc.) and their pharmacol. acceptable salts are claimed for treatment of integrin 4-mediated cell adhesion-assocd. diseases, including asthma, diabetes, rheumatoid arthritis, inflammatory bowel disease, and digestive tract and other diseases assocd. with leukocyte infiltration in the epithelium (e.g. skin, urethra, bronchiole, synovial membrane and transplanted kidney, liver, heart, blood vessel, and nerve tissues, and pancreas and other diseases including psoriasis, atopic dermatitis, contact dermatitis, systemic lupus erythematosus, etc.). I were prepd., and their inhibitory effects on cell adhesion were tested in vitro.
IT **232271-58-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L4 ANSWER 18 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
(phenylalanine analogs as medicine compns. for treatment of integrin .alpha.4-mediated cell adhesion-assocd. diseases)
RN 232271-58-8 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 2'-(aminomethyl)-.alpha.-[(2,6-dichlorobenzoyl)amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

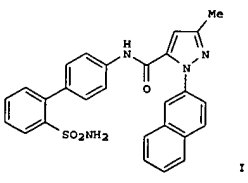
Absolute stereochemistry.



L4 ANSWER 19 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:208248 CAPLUS
DOCUMENT NUMBER: 134:252334
TITLE: Preparation of 1-naphthyl-3-methyl-1H-pyrazole-5-carboxamides as inhibitors of factor Xa
INVENTOR(S): Zhu, Bing-Yan; Jia, Zhaozhong Jon; Huang, Wenrong; Song, Yonghong; Kanter, James; Scarborough, Robert M.
PATENT ASSIGNEE(S): Cor Therapeutics Inc., USA
SOURCE: PCT Int. Appl., 314 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

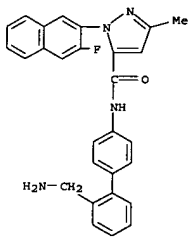
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019798	A2	20010322	WO 2000-US25195	20000915
WO 2001019798	A3	20011025		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1216231	A2	20020626	EP 2000-963451	20000915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: US 1999-154332P P 19990917
WO 2000-US25195 W 20000915
OTHER SOURCE(S): MARPAT 134:252334
GI



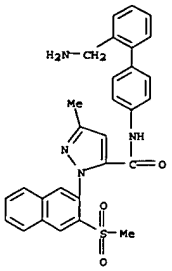
I

AB The title compds. AQDEGJX (A = alkyl, cycloalkyl, (un)substituted Ph; Q = a direct link, alkylene, CO, etc.; D = a direct link, (un)phenylene, etc.; E = a direct link, (CH2)qCO, SO2, etc.; q = 0-2; G = (un)substituted Ph, (un)substituted 5-6 membered (non)arom. heterocyclic a ring contg. 1-4 heteroatoms selected from N, O and S; J = a direct link, SO2, CO, etc.; X = (un)substituted Ph, naphthyl, heteroaryl] having activity against mammalian factor Xa, and therefore useful in vitro or in vivo for preventing or treating coagulation disorders, were prepd. E.g., a 3-step synthesis of the pyrazolecarboxamide I was described.
IT **330802-01-2P 330802-52-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-naphthyl-3-methyl-1H-pyrazole-5-carboxamides as inhibitors of factor Xa)
RN 330802-01-2 CAPLUS
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 330802-52-3 CAPLUS
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

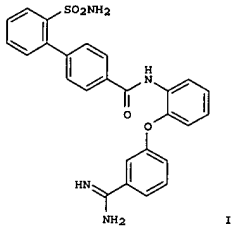


L4 ANSWER 20 OF 111 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:208239 CAPLUS
DOCUMENT NUMBER: 134:252153
TITLE: Preparation of benzamides as inhibitors of factor Xa
INVENTOR(S): Zhu, Bing-yan; Zhang, Penglie; Wang, Lingyan; Huang, Wenrong; Goldman, Eric; Li, Wenhao; Zuckett, Jingmei; Song, Yonghong; Scarborough, Robert
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 224 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019788	A2	20010322	WO 2000-US25196	20000915
WO 2001019788	A3	20010809		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1216228	A2	20020626	EP 2000-963452	20000915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: US 1999-154332P P 19990917
US 2000-185746P P 20000229
WO 2000-US25196 W 20000915
OTHER SOURCE(S): MARPAT 134:252153
GI



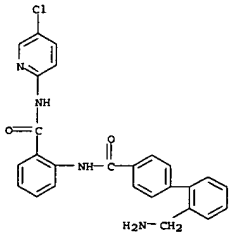
I

L4 ANSWER 20 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

AB The title compds. AQDEGJX [A = alkyl, cycloalkyl, (un)substituted Ph, etc.; Q = a direct link, CH2, CO, etc.; D = a direct link, (un)substituted Ph, naphthyl, etc.; E = a direct link, O, alkyl, etc.; G = alkenylene, cycloalkenylene, phenylene, etc.; J = a direct link, O, S, etc.; X = a (un)substituted Ph, naphthyl, heteroaryl, etc.] having activity against mammalian factor Xa (no data), and useful in vitro or in vivo for preventing or treating coagulation disorders, were prepd. E.g., a 4-step synthesis of the benzamide I was given.

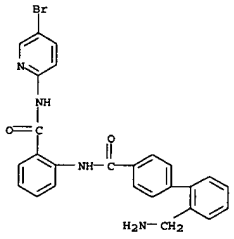
IT **330940-99-3P 330942-33-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzamides as inhibitors of factor Xa)

RN 330940-99-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 2'-(aminomethyl)-N-[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 330942-33-1 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 2'-(aminomethyl)-N-[2-[[(5-bromo-2-pyridinyl)amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



L4 ANSWER 21 OF 111 CAPLUS COPYRIGHT 2002 ACS

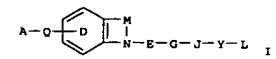
ACCESSION NUMBER: 2001:137189 CAPLUS
DOCUMENT NUMBER: 134:193446
TITLE: Preparation of heterocyclic compounds as inhibitors of factor Xa
INVENTOR(S): Zhu, Bing-Yan; Scarborough, Robert M.; Clizbe, Lane; Doughan, Brandon; Jia, Zhaozhong-Jon; Kane-Maguire, Kim; Marlowe, Charles; Song, Yonghong; Su, Ting; Teng, Willy; Zhang, Penglie
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA; et al.
SOURCE: PCT Int. Appl., 387 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012600	A1	20010222	WO 2000-US21742	20000810

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-148627P P 19990812
US 2000-202202P P 20000505
OTHER SOURCE(S): MARPAT 134:193446
GI

L4 ANSWER 21 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



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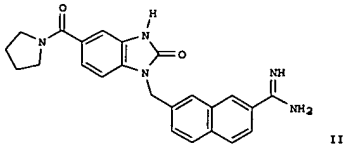


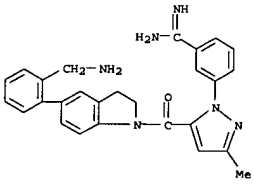
II

AB The title compds. [I; A = alkyl, cycloalkyl, (un)substituted Ph, etc.; Q = a direct link, CH2, CO, etc.; D = (un)substituted Ph, 6-membered heteroaryl having 1-2 ring N atoms; M = NR16CO, NR16CS, CR17R18CO, etc.; R16-R18 = H, halo, alkyl, etc.; E = a direct link, CO, CONR5, etc.; R5 = alkyl, alkenyl, alkynyl, etc.; G = a direct link, CR7R8, CR7aR8aCR7bR8b, CR7c:CR8c; R7, R8, R7a, R7b, R7c, R8a, R8b, R8c = H, halo, alkyl, etc.; J = a direct link, O, S, etc.; Y = (un)substituted Ph, naphthyl, monocyclic or fused bicyclic heterocyclyl; L = H, CN, CONR12R13; R12, R13 = H, alkyl, OH, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating coagulation disorders, were prepd. and formulated. E.g., a multi-step synthesis of the title compd. II was given.

IT **327045-78-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as inhibitors of factor Xa)

RN 327045-78-3 CAPLUS
CN 1H-Indole, 1-[[1-[3-(aminoiminomethyl)phenyl]-3-methyl-1H-pyrazol-5-yl]carbonyl]-5-[2-(aminomethyl)phenyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 111 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:900647 CAPLUS
DOCUMENT NUMBER: 134:56657
TITLE: Preparation of substituted heterocycle fused gamma-carbolines
INVENTOR(S): Robichaud, Albert J.; Lee, Taekyu; Deng, Wei; Mitchell, Ian S.; Haydar, Simon; Chen, Wenting; McClung, Christopher D.; Calvello, Emilie J. B.; Zawrotny, David M.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 764 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000077010	A2	20001221	WO 2000-US16373	20000615
WO 2000077010	A3	20010628		

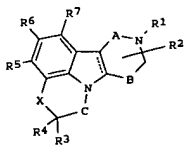
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

EP 1192165	A2	20020403	EP 2000-942807	20000615

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

BR 2000012411	A	20020416	BR 2000-12411	20000615
NO 2001006128	A	20020211	NO 2001-6128	20011214

PRIORITY APPLN. INFO.: US 1999-139321P P 19990615
WO 2000-US16373 W 20000615
OTHER SOURCE(S): MARPAT 134:56657
GI



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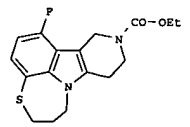
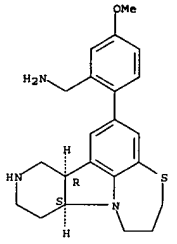


II

AB Novel .gamma.-carboline compds. of formula I [R1, R2 = H, acyl, alkyl, cycloalkyl, etc.; R3, R4 = H, OH, amino, CF3, alkyl, etc.; R5-R7 = H, halo, CF3, OH, CN, alkyl, aryl, heterocycle, etc.; X = (substituted) NH, (substituted) CONH, (substituted) NHCO, S; A, B, C = (CH2)n, n = 0-3] are prepd. The invention is also concerned with pharmaceutical formulations comprising these novel compds. as active ingredients and the use of the novel compds. and their formulations in the treatment of certain disorders. The compds. of this invention are serotonin agonists and

L4 ANSWER 22 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
antagonists and are useful in the control or prevention of central nervous
system disorders including obesity, anxiety, depression, psychosis, schizophrenia, sleep disorders, sexual disorders, migraine, conditions assocd. with cephalic pain, social phobias, and gastrointestinal disorders
such as dysfunction of the gastrointestinal tract motility. Thus, II is prepd. starting from p-fluorophenol, .beta.-propiolactone and 1-carbethoxy-4-piperidone. Pharmaceutical compns. contg. I are described.
IT **313543-87-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted heterocycle fused .gamma.-carbolines as serotonin agonists and antagonists)
RN 313543-87-2 CAPLUS
CN Benzenemethanamine, 5-methoxy-2-[(8aS,12aR)-6,7,8a,9,10,11,12,12a-octahydro-5H-pyrido[3',4':4,5]pyrrolo[1,2,3-ef][1,5]benzothiazepin-2-yl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



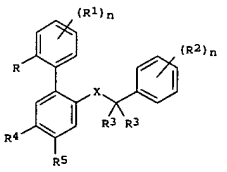
L4 ANSWER 23 OF 111 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:	2000:645982 CAPLUS
DOCUMENT NUMBER:	133:237691
TITLE:	Preparation of biphenyl derivatives as antagonists of the neurokinin-1 receptor
INVENTOR(S):	Boes, Michael; Galley, Guido; Godel, Thierry; Hoffmann, Torsten; Hunkeler, Walter; Schnider, Patrick; Stadler, Heinz
PATENT ASSIGNEE(S):	F. Hoffmann-La Roche Ag, Switz.
SOURCE:	PCT Int. Appl., 40 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000053572	A1	20000914	WO 2000-EP1668	20000228
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6291465	B1	20010918	US 2000-513147	20000225
BR 2000008862	A	20020102	BR 2000-8862	20000228
EP 1171419	A1	20020116	EP 2000-909264	20000228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002040060	A1	20020404	US 2001-886669	20010621
NO 2001004356	A	20010907	NO 2001-4356	20010907

PRIORITY APPLN. INFO.:	EP 1999-104626	A	19990309
	US 2000-513147	A3	20000225
	WO 2000-EP1668	W	20000228

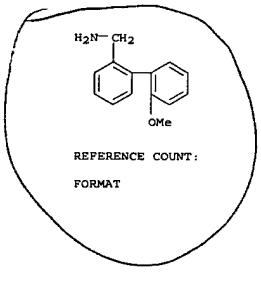
OTHER SOURCE(S): MARPAT 133:237691
GI



I

L4 ANSWER 23 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

AB The title compds. [I; R = H, alkyl, alkoxy, etc.; R1 = H, alkoxy, halo; R and R1 may be together CH:CHCH:CH; R2 = halo, alkyl, CF3; R3 = H, alkyl; R4 = H, cyclic tertiary amine (optionally substituted by alkyl); R5 = H, NO2, NH2, etc.; R6 = H, alkyl; X = CONR6, (CH2)nO, (CH2)nNR6, etc.; n = 1-2], useful for the treatment of diseases related to the NK-1 receptor, were prepd. and formulated. E.g., a multi-step synthesis of the biphenylcarboxamide I [X = CONMe; R = Me; R1 = H; R2 = 3,5-(CF3)2; R3, R5 = H; R4 = 4-methylpiperazin-1-yl] which showed pKi of 8.84 against NK-1 receptor binding, was given.
IT **292151-99-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of biphenyl derivs. as antagonists of the neurokinin-1 receptor)
RN 292151-99-6 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine, 2'-methoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

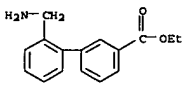
FORMAT

L4 ANSWER 24 OF 111 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:	2000:619063 CAPLUS
DOCUMENT NUMBER:	133:291229
TITLE:	Template-constrained cyclic peptide analogues of somatostatin: subtype-selective binding to somatostatin receptors and antiangiogenic activity
AUTHOR(S):	Suich, D. J.; Mousa, S. A.; Singh, G.; Liapakis, G.; Reisine, T.; DeGrado, W. F.
CORPORATE SOURCE:	Department of Biochemistry and Biophysics, University of Pennsylvania, Philadelphia, PA, 19104-6059, USA
SOURCE:	Bioorganic & Medicinal Chemistry (2000), 8(9), 2229-2241 CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER:	Elsevier Science Ltd.
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB .beta.-Turns are a common secondary structure motif found in proteins that
play a role in protein folding and stability and participate in mol. recognition interactions. Somatostatin, a peptide hormone possessing a variety of therapeutically-interesting biol. activities, contains a .beta.-turn in its bioactive conformation. The .beta.-turn and biol. activities of somatostatin have been successfully mimicked in cyclic hexapeptide analogs. Two novel, structured, non-peptidic mols. were developed that are capable of holding the bioactive tetrapeptide sequence of somatostatin analogs in a .beta.-turn conformation, as measured by somatostatin receptor (SSTR) binding. Template-constrained cyclic peptides in which the ends of the -Tyr-d-Trp-Lys-Val-tetrapeptide were linked by scaffolds based on either an N,N'-dimethyl-N,N'-diphenylurea or a substituted biphenyl system (DJS631 and DJS811, resp.), bound selectively to mouse SSTR2B and rat and human SSTR5 with affinities as high as 1 nM. DJS811, at a dose of 3 mg/kg/day, was shown in a mouse Matrigel model to inhibit angiogenesis to a level of 79%. The development
of structured turn scaffolds allows .beta.-turn sequences to be contained in the context of a compact structure, with less peptidic nature and potentially greater bioavailability than cyclic hexapeptides. These systems can be used to study the determinants of .beta.-turn formation, as
well as to probe the importance of turn sequences occurring in mol. recognition interactions. The antiangiogenic activity of DJS811 suggests that it may have antitumor activity as well. In addn., because SSTR2 is overexpressed on many types of tumors, DJS631 and DJS811 may be useful in the development of agents for tumor imaging or the radiotherapy of cancer.
IT **301317-99-7P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(subtype-selective binding to somatostatin receptors and antiangiogenic
activity of template-constrained cyclic peptide analogs of somatostatin)
RN 301317-99-7 CAPLUS
CN [1,1'-Biphenyl]-3-carboxylic acid, 2'-(aminomethyl)-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 25 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:573773 CAPLUS
DOCUMENT NUMBER: 133:177025
TITLE: Preparation of arylacrylamides and related compounds as inhibitors of Factor Xa.
INVENTOR(S): Song, Yonghong; Zhu, Bing-yan; Scarborough, Robert M.; Clizbe, Lane; Jia, Zhaozhong Jon; Su, Ting; Teng, Willy
PATENT ASSIGNEE(S): Cor Therapeutics Inc., USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047554	A2	20000817	WO 2000-US3405	20000211
WO 2000047554	A3	20010809		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1159264	A2	20011205	EP 2000-917623	20000211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6399627	B1	20020604	US 2000-501371	20000211

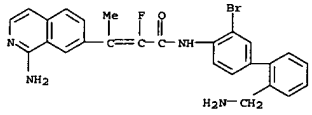
PRIORITY APPLN. INFO.: US 1999-119640P P 19990211
WO 2000-US3405 W 20000211
OTHER SOURCE(S): MARPAT 133:177025

AB ABDECG1:CG2KL [A = (substituted) Ph, naphthyl, (arom.) heterocyclyl; B = bond, CO, NR3, CR3aR3b, CONR3, SO2, O, SO2NR, NR3SO2, etc.; R3, R3a, R3b = H, alkyl, alkenyl, alkynyl, cycloalkyl, alkylphenyl, etc.; D = (substituted) Ph, heteroaryl; E = bond, CO, CONR5, SO2NR5, CH2SO2, etc.; R5 = H, OH, alkoxy, alkyl, alkenyl, alkynyl, cycloalkyl, alkylphenyl, etc.; K = (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl; L = H, cyano, CONR12R13, (CH2)nNR12R13, etc.; n = 0-2; R12, R13 = H, OR14, NR14R15, alkyl, (substituted) alkylphenyl, alkylnaphthyl, etc.; R14, R15 = H, alkyl, alkoxycarbonyl, CONH2, alkyl, etc.; G1, G2 = H, halo, alkyl, haloalkyl, cyano, NO2, alkenyl, alkynyl, cycloalkyl, cyanoalkyl, etc.], were prepd. as inhibitors of Factor Xa (no data). Thus, [[2-(4-aminophenyl)phenyl]sulfonyl]tert-butylamine (prepn. given) in CH2Cl2 was treated with Me3Al in hexane and then with Me 3-(3-cyanophenyl)acrylate to give 19% N-[4-[(2-tert-butylaminosulfonyl)phenyl]phenyl]-3-(3-cyanophenyl)acrylamide. The latter in MeOH was treated with HCl to give a residue which was refluxed with

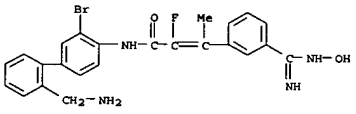
L4 ANSWER 25 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
NH4OAc in MeOH to give 35% (2E)-N-[4-[(2-aminosulfonyl)phenyl]phenyl]-3-(3-amidinophenyl)-3-acrylamide.

IT **288308-27-0P 288308-41-8P 288308-55-4P 288308-84-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylacrylamides and related compds. as inhibitors of Factor Xa)

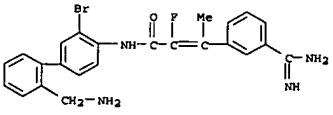
RN 288308-27-0 CAPLUS
CN 2-Butenamide, 3-(1-amino-7-isoquinolinyl)-N-[2'-(aminomethyl)-3-bromo[1,1'-biphenyl]-4-yl]-2-fluoro- (9CI) (CA INDEX NAME)



RN 288308-41-8 CAPLUS
CN 2-Butenamide, N-[2'-(aminomethyl)-3-bromo[1,1'-biphenyl]-4-yl]-2-fluoro-3-[3-[(hydroxyamino)iminomethyl]phenyl]- (9CI) (CA INDEX NAME)

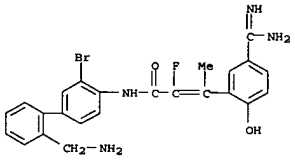


RN 288308-55-4 CAPLUS
CN 2-Butenamide, 3-[3-(aminoiminomethyl)phenyl]-N-[2'-(aminomethyl)-3-bromo[1,1'-biphenyl]-4-yl]-2-fluoro- (9CI) (CA INDEX NAME)



RN 288308-84-9 CAPLUS

L4 ANSWER 25 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN 2-Butenamide, 3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[2'-(aminomethyl)-3-bromo[1,1'-biphenyl]-4-yl]-2-fluoro- (9CI) (CA INDEX NAME)

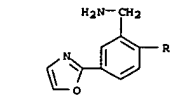


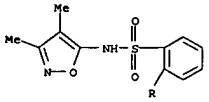
L4 ANSWER 26 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:489591 CAPLUS
DOCUMENT NUMBER: 133:252343
TITLE: Biphenylsulfonamide endothelin receptor antagonists: discovery of 4'-oxazolyl biphenylsulfonamides as a new class of potent, highly selective ETA antagonists
AUTHOR(S): Murugesan, Natesan; Gu, Zhengxiang; Stein, Philip D.; Spergel, Steven; Mathur, Arvind; Leith, Leslie; Liu, Eddie C.-K.; Zhang, Rongan; Bird, Eileen; Waldron, Tom; Marino, Anthony; Morrison, Richard A.; Webb, Maria L.; Moreland, Suzanne; Barrish, Joel C.
CORPORATE SOURCE: Departments of Chemistry Cardiovascular Agents Cardiovascular Biochemistry and Pharmacology Metabolism and Pharmacokinetics, Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-5400, USA
SOURCE: Journal of Medicinal Chemistry (2000), 43(16), 3111-3117
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The synthesis and structure-activity relationship (SAR) studies of a series of 4'-oxazolyl-N-(3,4-dimethyl-5-isoxazolyl)[1,1'-biphenyl]-2-sulfonamide derivs. as endothelin-A (ETA) receptor antagonists are described. The data reveal a remarkable improvement in potency and metabolic stability when the 4'-position of the biphenylsulfonamide is substituted with an oxazole ring. Addnl. 2'-substitution of an acylaminomethyl group further increased the binding activity and provided one of the first subnanomolar ETA-selective antagonists in the biphenylsulfonamide series (ETA Ki = 0.2 nM). Among the compds. described, (N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-oxazolyl)[1,1'-biphenyl]-2-sulfonamide; BMS-193884) had the optimum pharmacol. profile and was therefore selected as a clin. candidate for studies in congestive heart failure.

IT **176961-46-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 4'-oxazolyl biphenylsulfonamides as new class of potent, highly selective ETA antagonists)
RN 176961-46-9 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, 2'-(aminomethyl)-N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-oxazolyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



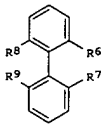


REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

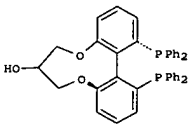
L4 ANSWER 27 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:351206 CAPLUS
DOCUMENT NUMBER: 133:4801
TITLE: Preparation of chiral diphenyldiphosphines and d-8 metal complexes thereof as hydrogenation catalysts
INVENTOR(S): Pugin, Benoit; Steiner, Ivo; Aufdenblatten, Rhony Niklaus; Togni, Antonio
PATENT ASSIGNEE(S): Solvias Ag, Switz.
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1002801	A1	20000524	EP 1999-122865	19991117
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6281390	B1	20010828	US 1999-441519	19991117
JP 2000154156	A2	20000606	JP 1999-328983	19991119
US 2001056210	A1	20011227	US 2001-899205	20010706

PRIORITY APPLN. INFO.: CH 1998-2319 A 19981119
US 1999-441519 A3 19991117
OTHER SOURCE(S): MARPAT 133:4801
GI



I

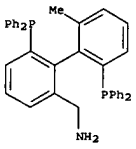


II

AB The prepn. of title compds., I (R6, R7 = same or different secondary phosphino; R8 = CH2OH, CH2NH2, CH2-O-B-FU, CH2-NH2-B-FU, O-B-FU; R9 = same as R8 or C1-4 alkyl, C1-4 alkoxy; R8R9 = HOCH(CH2O)2, H2NCH(CH2O)2, FU-B-OCH(CH2O)2, FU-B-HNCH(CH2O)2; B = bridging group; FU = functional group), useful as cocatalysts for hydrogenation reaction, is described. The compds. may be bonded to inorg. or org. carriers. Their d-8 metal complexes are valuable catalysts for the enantioselective hydrogenation of prochiral org. compds. with carbon multiple bonds or carbon/hetero atom multiple bonds. Thus, reaction of (S)-6,6'-dihydroxydiphenyl-2,2'-diphenyldiphosphine with epibromohydrin in MeCN gave 32.7% title compd. II, which was immobilized on silica gel to give the cocatalyst. Hydrogenation of acetamidocinnamic acid with [Rh(NBD)2]BF4 catalyst and above cocatalyst is described.

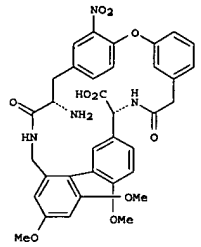
L4 ANSWER 27 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

IT **270253-45-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of chiral diphenyldiphosphines and their d-8 metal complexes as hydrogenation catalysts)
RN 270253-45-7 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine, 2',6-bis(diphenylphosphino)-6'-methyl-, (1R)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:218049 CAPLUS
DOCUMENT NUMBER: 133:17790
TITLE: Synthesis of a model 22-membered AB-C-O-D ring of vancomycin containing biaryl and biaryl ether linkages
AUTHOR(S): Neuville, Luc; Bois-Choussy, Michele; Zhu, Jieping
CORPORATE SOURCE: Institut de Chimie des Substances Naturelles, CNRS, Gif-Sur-Yvette, 91198, Fr.
SOURCE: Tetrahedron Letters (2000), 41(11), 1747-1751
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:17790
GI

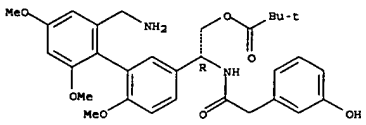


I

AB The synthesis of a 22-membered macrocycle I with an endo aryl-aryl ether linkage and a biaryl bond related to the AB-C-O-D ring of vancomycin is described.
IT **271799-01-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 22-membered macrocycle fragment of vancomycin contg. biaryl and biaryl ether linkages)
RN 271799-01-0 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, (2R)-2-[2'-(aminomethyl)-4',6,6'-trimethoxy[1,1'-biphenyl]-3-yl]-2-[[(3-hydroxyphenyl)acetyl]amino]ethyl ester (9CI) (CA INDEX NAME)

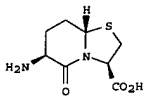
Absolute stereochemistry.

L4 ANSWER 28 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 29 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:190420 CAPLUS
DOCUMENT NUMBER: 133:4961
TITLE: Are .beta.-turn mimetics mimics of .beta.-turns?
AUTHOR(S): Muller, Gerhard; Hessler, Gerhard; Decornez, Helene Y.
CORPORATE SOURCE: Bayer AG Zentrale Forschung, ZF-WFM (Molecular Modeling), Leverkusen, 51368, Germany
SOURCE: Angewandte Chemie, International Edition (2000), 39(5), 894-896
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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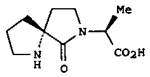
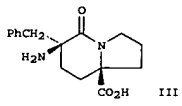


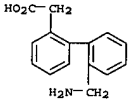
II



III

AB Conformational compatibility of .beta.-turn mimetics with the secondary structural elements that are to be imitated and of the turn-inducing potential of a designed .beta.-turn mimetic was investigated by simulation procedures. A series of .beta.-turn mimetics with respect to the preferred conformation was studied by deterministic (mol. dynamics, MD) and stochastic (Monte Carlo, MC) mol. mechanics simulation procedures. In terms of turn induction, the .beta.-turn mimetic I (bicyclic turned dipeptide), the "classic" of all mimetics, was actually surpassed by the spiro compd. II and .beta.VI turn mimetic III (i + 1 - i + 2 cis-amide). The design of potential turn mimetics should be supported by the computer methods described here, for clearly not all of the compds. categorized as .beta.-turn mimetics are to be described as such. The value of the simulation procedure lies in the early identification and elimination of "false-pos." .beta.-turn mimetics, i.e. those compds. which were designed as turn mimetics but exhibit no corresponding compatibility in a three-dimensional structural context. The mol. modeling method described here is suitable for the ranking of structure mimetics that are attractive peptide mimetic templates for the rational design of combinatorial libraries.
IT **270927-48-5**
RL: PRP (Properties)

L4 ANSWER 29 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
(conformational compatibility of .beta.-turn mimetics)
RN 270927-48-5 CAPLUS
CN [1,1'-Biphenyl]-2-acetic acid, 2'-(aminomethyl)- (9CI) (CA INDEX NAME)

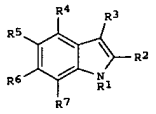


REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 30 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:116895 CAPLUS
DOCUMENT NUMBER: 132:151678
TITLE: Preparation of indolyloxyacetates as sPLA2 inhibitors
INVENTOR(S): Bach, Nicholas James; Dillard, Robert Delane; Draheim, Susan Elizabeth; Mihelich, Edward David; Suarez, Tulio
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000007590	A1	20000217	WO 1999-US17459	19990802
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1100492	A1	20010523	EP 1999-938936	19990802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522385	T2	20020723	JP 2000-563275	19990802

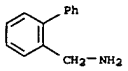
PRIORITY APPLN. INFO.: US 1998-95114P P 19980803
WO 1999-US17459 W 19990802
OTHER SOURCE(S): MARPAT 132:151678
GI



I

AB Title compds. [I; R1 = (substituted) alkyl, haloalkyl, alkenyl, alkynyl, carbocyclyl, heterocyclyl, etc.; R2 = H, group contg. 1-4 non-H atoms; R3 = L3Z; L3 = bond, CH2, O, S, NH, CO; Z = NHC(:X)Y; X = O, S; Y = NH2, alkyl, CF3, CONH2, CH2Z; Z = F, Cl, Br, iodo; R4, R5 = H, noninterfering substituent, etc.; R6, R7 = H, noninterfering substituent, (substituted) carbocyclyl, heterocyclyl], were prepd. Thus, N-tert-butoxycarbonyl-3-methoxy-2-methylaniline in THF at -40.degree. was treated with sec-BuLi

L4 ANSWER 30 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
followed by warming to 0.degree., cooling to -60.degree., and dropwise addn. of N-methoxy-N-methylpropanamide in THF to give 1-[2-(tert-butoxycarbonylamino)-6-methoxyphenyl]-2-butanone. This was stirred with CF3CO2H in CH2Cl2 to give 2-ethyl-4-methoxy-1H-indole, which was converted
in several steps to give [[2-ethyl-1-(phenylmethyl)-3-ureido-1H-indol-4-yl]oxy]acetic acid. The latter inhibited human secreted phospholipase A2 with IC50 = 0.049 .mu.M.
IT **1924-77-2P**, [1,1'-Biphenyl]-2-methanamine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of indolyloxyacetates as sPLA2 inhibitors)
RN 1924-77-2 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine (9CI) (CA INDEX NAME)

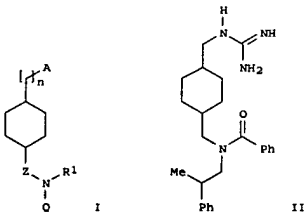


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:819337 CAPLUS
DOCUMENT NUMBER: 132:49802
TITLE: Preparation of 1-(N-substituted aminomethyl)-4-guanidinomethylcyclohexanes useful in pain management
INVENTOR(S): Delorme, Daniel; Gregor, Vlad; Roberts, Edward; Sun, Eric
PATENT ASSIGNEE(S): Astra Pharma Inc., Can.; Astra AB
SOURCE: PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967203	A1	19991229	WO 1999-SE1074	19990616
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9948145	A1	20000110	AU 1999-48145	19990616
EP 1087940	A1	20010404	EP 1999-931709	19990616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

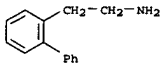
PRIORITY APPLN. INFO.: SE 1998-2206 A 19980622
WO 1999-SE1074 W 19990616
OTHER SOURCE(S): MARPAT 132:49802
GI



I II

AB The title compds. [I; A = NR2R3, CHR2R3; Z = (CH2)m, CO; m, n = 0-3 and one or more of the hydrogens in such an alkylene-chain may be optionally substituted by alkyl, alkoxy or OH; or one or more of the methylene groups

L4 ANSWER 31 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
may optionally be substituted by a heteroatom such as O, N or S; m and n may not both be 0; Q = Me, R4CO, R4COCH2, etc.; R4 = H, aryl, heteroaryl, etc.; R1 = alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, alkenyl, alkynyl,
etc.; R3 = H, alkyl, alkenyl, alkynyl, etc.; R2 and R3 may form a heterocyclic ring) and their pharmaceutically acceptable salts, useful in therapy (no data), in particular in the management of pain, in treating gastrointestinal disorders, spinal injuries, disorders of sympathetic nervous system, and as diagnostic agents, were prepd. E.g., a multi-step synthesis of II.HCl, starting with 1,4-bis-aminomethylcyclohexane, was given.
IT **252984-00-2P**, [1,1'-Biphenyl]-2-ethanamine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 1-(N-substituted aminomethyl)-4-guanidinomethylcyclohexanes useful in pain management)
RN 252984-00-2 CAPLUS
CN [1,1'-Biphenyl]-2-ethanamine (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

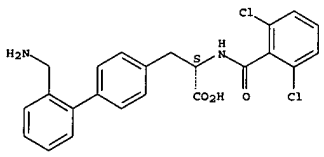
L4 ANSWER 32 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:464267 CAPLUS
DOCUMENT NUMBER: 131:116517
TITLE: Preparation of N-acyl-phenylalanine derivatives as inhibitors of .alpha.4-mediated cell adhesion
INVENTOR(S): Sircar, Ila; Gudmundsson, Kristjan S.; Martin, Richard
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9936393	A1	19990722	WO 1999-US993	19990119
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2318527	AA	19990722	CA 1999-2318527	19990119
AU 9924584	A1	19990802	AU 1999-24584	19990119
BR 9907040	A	20001017	BR 1999-7040	19990119
EP 1049662	A1	20001108	EP 1999-904115	19990119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002509131	T2	20020326	JP 2000-540111	19990119

PRIORITY APPLN. INFO.: US 1998-71840P P 19980120
WO 1999-US993 W 19990119
OTHER SOURCE(S): MARPAT 131:116517
GI For diagram(s), see printed CA Issue.
AB The present invention relates to a pharmaceutical compn. comprising as an active ingredient a compd. of formula [I; wherein ring A is an arom. or a heterocyclic ring; Q is a bond, carbonyl, lower alkylene optionally substituted by HO or Ph, lower alkenylene, or -O-(lower alkylene)-; n is 0, 1 or 2; Z is oxygen or sulfur; W is oxygen, sulfur, -CH:CH-, -NH- or -N:CH-; R1, R2 and R3 are the same or different and are hydrogen, halogen, hydroxyl, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted lower alkoxy group, a substituted or unsubstituted amino group, CO2H or an amide or an ester thereof, cyano, lower alkylthio, lower alkanesulfonyl, substituted or unsubstituted SO2NH2, etc.; R4 is tetrazolyl, carboxyl group, amide or ester; R5 is hydrogen, nitro, amino, hydroxyl, lower alkanoyl, lower alkyl, etc.; R6 is selected from (a) a substituted or unsubstituted Ph group, (b) a substituted or unsubstituted pyridyl group, (c) a substituted or unsubstituted thienyl group, (d) a substituted or unsubstituted benzofuranyl group, etc.; or a pharmaceutically acceptable salt thereof]. These phenylalanine derivs. are useful for treating or preventing conditions caused by .alpha.4-mediated cell adhesion such as rheumatoid arthritis, asthma, psoriasis, eczema, contact dermatitis and other skin inflammatory diseases, diabetes, multiple sclerosis, systemic lupus erythematosus (SLE), inflammatory bowel disease including ulcerative colitis and Crohn's disease, and other diseases involving leukocyte infiltration of the gastrointestinal tract, or other epithelial lined tissues, such as skin, urinary tract, respiratory airway, and joint synovium. N-(tert-butoxycarbonyl)-O-(trifluoromethanesulfonyl)-L-tyrosine Me ester (prepn. given) was coupled with 2-methoxybenzene boronic acid in toluene/DMF in the presence of K2CO3 and Pd(PPh3)4 at 80 .degree.C for 24 h to give N-(tert-butoxycarbonyl)-4-(2-methoxyphenyl)-L-phenylalanine Me ester. The latter compd. was treated with CF3CO2H in CH2Cl2 for 1.5 h to remove the Boc group and then condensed with 2,6-dichlorobenzoyl chloride in the presence of diisopropylethylamine at room temp. for 24 h to give N-(2,6-dichlorobenzoyl)-4-(2-methoxyphenyl)-L-phenylalanine Me ester (II) which was sapond. with LiOH in THF/MeOH at room temp. for 3 h, evapd., treated with H2O, adjusted Ph 2, and extd. with EtOAc to give N-(2,6-dichlorobenzoyl)-4-(2-methoxyphenyl)-L-phenylalanine (III). II and III in vitro inhibited at IC50 of 1.gtoreq. and 0.3.gtoreq. .mu.M, resp., .beta.7-mediated cell adhesion which measured the adhesive interactions of a B-cell line, RPMI, known to express .alpha.4.beta.7, to the alternatively spliced region of fibronectin referred to as CS-1, in the presence of test compds.

IT **232271-58-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-acyl-phenylalanine derivs. as inhibitors of .alpha.4-mediated cell adhesion for prevention and treatment of diseases caused by .alpha.4-mediated cell adhesion)
RN 232271-58-8 CAPLUS
CN {1,1'-Biphenyl]-4-propanoic acid, 2'-(aminomethyl)-.alpha.-[(2,6-dichlorobenzoyl)amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

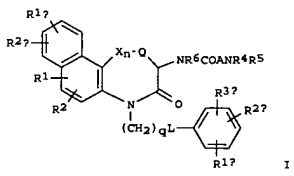


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:458951 CAPLUS
DOCUMENT NUMBER: 131:73573
TITLE: Preparation of naphthazepinones, naphthoxazepinones, and related compounds as stimulators of growth hormone release.
INVENTOR(S): Devita, Robert J.; Wyvratt, Mathew J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Brit. UK Pat. Appl., 104 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2330834	A1	19990505	GB 1998-23422	19981026
US 6211174	B1	20010403	US 1998-159451	19980924

PRIORITY APPLN. INFO.: US 1997-63948P P 19971031
OTHER SOURCE(S): MARPAT 131:73573
GI



I

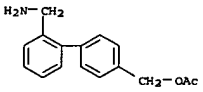
AB Title compds. [I; Q = (CH2)p; L = null, (substituted) phenylene; n = 0, 1; p = 0-3; q = 0-4; X = CO, O, S, SO, SO2, CHOH, imino, CH:CH; R1, R2, R1a, R2a, R1b, R2b = H, halo, alkyl, perfluoroalkyl, perfluoroalkoxy, cyano, NO2, (substituted) Ph, etc.; R3c = H, R9, R9-substituted alkyl, Ph, PhO; R9 = R4bR12NCONR12a(CH2)v, etc.; R4,R4b, R5 = H, (substituted) Ph, alkyl, alkenyl, alkynyl; R4R5 = (CH2)rB(CH2)s; B = CHR1, O, S, SO, SO2, imino; R12a, R12b = R5a, OR5a, COR5a, etc.; v = 0-3; r, s = 1-3; R6 = H, alkyl, Ph, phenylalkyl; A = (CH2)xC(R8)(R8a)(CH2)y; x, y = 0-3; R8, R8a = H, CF3, Ph, (substituted) alkyl; R8R8a = (CH2)t; t = 2-6], are claimed (no data).

IT **197652-38-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of naphthazepinones, naphthoxazepinones, and related compds. as stimulators of growth hormone release)
RN 197652-38-3 CAPLUS
CN [1,1'-Biphenyl]-4-methanol, 2'-(aminomethyl)-, acetate (ester), trifluoroacetate (salt) (9CI) (CA INDEX NAME)

L4 ANSWER 33 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

CM 1

CRN 197652-37-2
CMF C16 H17 N O2

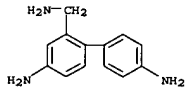


CM 2

CRN 76-05-1
CMF C2 H F3 O2

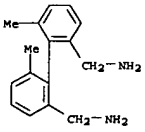
L4 ANSWER 34 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 34 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:420025 CAPLUS
DOCUMENT NUMBER: 131:196430
TITLE: Synthesis and Amyloid Binding Properties of Rhenium Complexes: Preliminary Progress Toward a Reagent for SPECT Imaging of Alzheimer's Disease Brain
AUTHOR(S): Zhen, Weiguo; Han, Hogyu; Anguiano, Magdalena; Lemere, Cynthia A.; Cho, Cheon-Gyu; Lansbury, Peter T. Jr.
CORPORATE SOURCE: Center for Neurologic Diseases Brigham and Women's Hospital and Harvard Medical School, Harvard Institutes of Medicine, Boston, MA, USA
SOURCE: Journal of Medicinal Chemistry (1999), 42(15), 2805-2815
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The definitive diagnosis of Alzheimer's disease (AD) requires the detection of amyloid plaques in postmortem brain. Although the amt. of fibrillar amyloid roughly correlates with the severity of symptoms at the time of death, the temporal relationship between amyloid deposition, neuronal loss, and cognitive decline is unclear. To elucidate this relationship, a noninvasive, practical method for the quantitation of brain amyloid deposition is required. We describe herein the initial stages of a strategy to accomplish this goal by single photon computed tomog. imaging. The amyloid-binding dye Congo Red was modified to allow its conjugation to the monoamine-monoamide bis(thiol) ligand. This ligand complexes technetium(V) in its neutral oxo form. A biphenyl-contg. building block was conjugated to the protected ligand, and the product was coupled to the relevant arom. compds. Rhenium oxo complexes, which are isosteric, but nonradioactive, analogs of the potential imaging agent technetium oxo complexes, were synthesized. These complexes bound to A.beta. amyloid fibrils produced in vitro and stained amyloid plaques and vascular amyloid in AD brain sections.
IT **199273-19-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and amyloid binding properties of rhenium complexes as SPECT imaging agent analogs for Alzheimer's disease brain)
RN 199273-19-3 CAPLUS
CN [1,1'-Biphenyl]-4,4'-diamine, 2-(aminomethyl)- (9CI) (CA INDEX NAME)



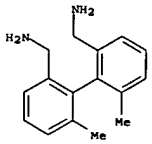
REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 35 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:129028 CAPLUS
DOCUMENT NUMBER: 130:296471
TITLE: New, axially chiral, bimetallic catalysts for asymmetric alkylation of aldehydes with diethylzinc
AUTHOR(S): Keller, Felix; Rippert, Andreas Johannes
CORPORATE SOURCE: Organisch-Chemisches Institut, Universitaet Zuerich, Zurich, CH-8057, Switz.
SOURCE: Helvetica Chimica Acta (1999), 82(1), 125-137
CODEN: HCACAV; ISSN: 0018-019X
PUBLISHER: Verlag Helvetica Chimica Acta AG
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:296471
AB Axially chiral bis(salicylidene)ethylenediamine (H2salen)-type ligands were prepd. by condensation of 2,2'-bis(aminomethyl)-6,6'-dimethylbiphenyl with various salicylaldehydes. The new compds. are efficient ligands for the enantioselective addn. of Et2Zn to aldehydes. There is ample evidence that an active bimetallic catalyst forms an effective chiral pocket. Of a series of first-row transition-metal complexes with these ligands, the most stereoselective were the Co(II) complexes. Best ee values as well as the fastest rates were obtained with these Co(II) complexes when an EtO substituent was present at C(3) of the salicylaldehyde residues, i.e. this Co(II) complex produced .ltoreq.93% ee with arom. aldehydes and 78% ee for aliph. aldehydes.
IT **100551-86-8P 223374-41-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of axially chiral N-salicylidenebiphenyldimethanamines as ligands for catalysis of asym. alkylation of aldehydes with ethylzinc)
RN 100551-86-8 CAPLUS
CN [1,1'-Biphenyl]-2,2'-dimethanamine, 6,6'-dimethyl-, (1S)- (9CI) (CA INDEX NAME)



RN 223374-41-2 CAPLUS
CN [1,1'-Biphenyl]-2,2'-dimethanamine, 6,6'-dimethyl-, (1R)- (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



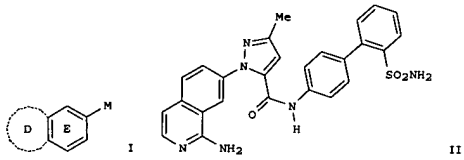
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 36 OF 111 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:9833 CAPLUS
DOCUMENT NUMBER: 130:66494
TITLE: Preparation of novel guanidine mimics as factor Xa inhibitors
INVENTOR(S): Lam, Patrick Y.; Clark, Charles G.; Dominguez, Celia; Fevig, John Matthew; Han, Qi; Li, Renhua; Pinto, Donald Joseph-Phillip; Pruitt, James Russell; Quan, Mimi Lifen
PATENT ASSIGNEE(S): The Du Pont Merck Pharmaceutical Company, USA
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

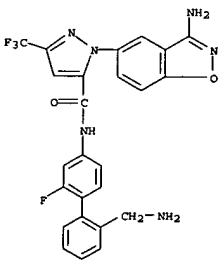
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9857951	A1	19981223	WO 1998-US12680	19980618
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9879768	A1	19990104	AU 1998-79768	19980618
EP 991638	A1	20000412	EP 1998-930361	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9810137	A	20000808	BR 1998-10137	19980618
JP 2002505686	T2	20020219	JP 1999-504785	19980618
NO 9905965	A	19991203	NO 1999-5965	19991203
LV 12496	B	20010120	LV 1999-178	19991216
LT 4705	B	20000925	LT 1999-147	19991217

PRIORITY APPLN. INFO.: US 1997-878884 A 19970619
WO 1998-US12680 W 19980618
OTHER SOURCE(S): MARPAT 130:66494
GI

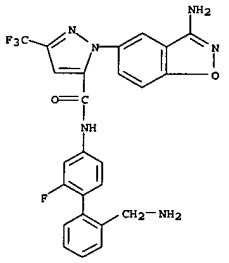


AB The title compds. [I; rings D-E represent guanidine mimics; ring D =

L4 ANSWER 36 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
CH2N:CH, CH2CH2N:CH, a 5-6 membered arom. system contg. 0-2 heteroatoms selected form the group N, O, and S; ring D is substituted with 0-2 R (substituents), provided that when ring D is unsubstituted, it contains at least one heteroatom; ring E contains 0-2 N atom and is substituted by 0-1 R; R = halo, OH, C1-3 alkoxy, etc.; M = (un)substituted pyrazole, imidazole, tetrazole, etc.], inhibitors of factor Xa which are useful in treating and preventing a thromboembolic disorder, were prepd. and formulated. Thus, a multi-step synthesis of the title compd. II, starting with 7-aminoisoquinoline, was described. A no. of compds. I were found to exhibit a Ki of .ltoreq. 15 .mu.M against factor Xa.
IT **218299-10-6P 218300-94-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel guanidine mimics as factor Xa inhibitors)
RN 218299-10-6 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-(3-amino-1,2-benzisoxazol-5-yl)-N-[2'-(aminomethyl)-2-fluoro[1,1'-biphenyl]-4-yl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 36 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

RN 218300-94-8 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-(3-amino-1,2-benzisoxazol-5-yl)-N-[2'-(aminomethyl)-2-fluoro[1,1'-biphenyl]-4-yl]-3-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 218299-10-6
CMF C25 H18 F4 N6 O2

L4 ANSWER 37 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:804132 CAPLUS
DOCUMENT NUMBER: 130:33009
TITLE: A method of treating cancer using an antineoplastic agent-prenyl-protein transferase inhibitor combination, and compound preparation
INVENTOR(S): Rosen, Neal; Sepp-lorenzino, Laura; Moasser, Mark M.; Oliff, Allen I.; Gibbs, Jackson B.; Kohl, Nancy; Graham, Samuel L.; Prendergast, George C.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Sloan-Kettering Institute for Cancer Research
SOURCE: PCT Int. Appl., 379 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9854966	A1	19981210	WO 1998-US8646	19980604
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9877957	A1	19981221	AU 1998-77957	19980604
EP 986302	A1	20000322	EP 1998-926029	19980604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002503249	T2	20020129	JP 1999-502409	19980604

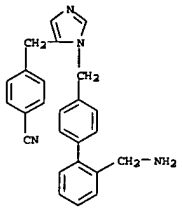
PRIORITY APPLN. INFO.: US 1997-48736P P 19970605
GB 1998-1231 A 19980121
WO 1998-US8646 W 19980604

AB Methods are provided for treating cancer using a combination of a compd. which is an antineoplastic agent and a compd. which is a inhibitor of prenyl-protein transferase. The methods comprise administering to a mammal, either sequentially in any order or simultaneously, amts. of .gtoreq.2 therapeutic agents selected from a compd. which is an antineoplastic agent and a compd. which is an inhibitor or prenyl-protein transferase. The invention also relates to methods of prepg. such compns.

IT **198205-59-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(antineoplastic agent-prenyl-protein transferase inhibitor combination for treating cancer, and compd. prepn.)

RN 198205-59-3 CAPLUS
CN Benzonitrile, 4-[[1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-1H-imidazol-5-yl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 37 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:788746 CAPLUS
DOCUMENT NUMBER: 130:52406
TITLE: Substituted biphenyl isoxazole sulfonamides useful as endothelin antagonists
INVENTOR(S): Murugesan, Natesan; Barrish, Joel C.; Spergel, Steven H.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S., 107 pp., Cont.-in-part of U.S. Ser. No. 754,715, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5846990	A	19981208	US 1997-799616	19970213
ZA 9701423	A	19980819	ZA 1997-1423	19970219
CA 2240043	AA	19970821	CA 1997-2240043	19970220
WO 9729748	A1	19970821	WO 1997-US3956	19970220
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9722098	A1	19970902	AU 1997-22098	19970220
AU 720458	B2	20000601		
EP 921800	A1	19990616	EP 1997-915055	19970220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002500619	T2	20020108	JP 1997-529620	19970220

PRIORITY APPLN. INFO.: US 1995-493331 B2 19950724
US 1996-603975 B1 19960220
US 1996-754715 B2 19961121
US 1997-799616 A 19970213
WO 1997-US3956 W 19970220

OTHER SOURCE(S): MARPAT 130:52406
GI

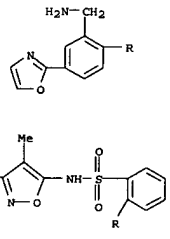
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I inhibit the activity of endothelin (no data), and are useful as antihypertensives, etc. The symbols in I are defined as follows [one of X and Y = N, other = O; J = O, S, N, (un)substituted NH; K, L = N or C, provided that at least one is C; p = 0-2; R1-R4 (bound to ring C atoms) = H, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aryloxy, aralkyl, aralkoxy, halo, OH, cyano, NO2, CHO, etc.; or R3R4 = (un)substituted alkylene or alkenylene; R5-R8 = groups similar to R1-R4, plus heterocyclyl, heterocyclyloxy, and others]. Over 280 synthetic examples

L4 ANSWER 38 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)
are given. For instance, the MEM-protected, isoxazole-contg. bromide II [R = Br] was lithiated, treated with B(OPr-iso)3, and hydrolyzed to give 82% II [R = B(OH)2]. The latter was coupled with 2-(4-bromophenyl)oxazole using Pd(PPh3)4 catalyst (70%), followed by acidic deprotection of the MEM group (52%), to give title compd. III.

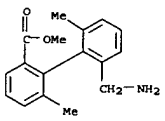
IT **176961-46-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of substituted biphenyl isoxazole sulfonamides as endothelin antagonists)

RN 176961-46-9 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, 2'-(aminomethyl)-N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-oxazolyl)- (9CI) (CA INDEX NAME)



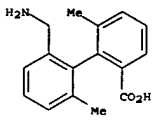
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 39 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:773074 CAPLUS
DOCUMENT NUMBER: 130:110032
TITLE: .omega.-Amino acids and lactams with chiral biaryl axis
AUTHOR(S): Tichy, Milos; Holanova, Jana; Zavada, Jiri
CORPORATE SOURCE: Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic, Prague, 166
10, Czech Rep.
SOURCE: Tetrahedron: Asymmetry (1998), 9(19), 3497-3504
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The optically active amino acids (R)- and (S)-2'-aminomethyl-6,6'-dimethyl-1,1'-biphenyl-2-carboxylic acid, were prepd. as the first representatives of .omega.-amino acids possessing a biaryl axis as the sole element of chirality.
IT **219621-57-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of aminomethylbiphenylcarboxylic acids with chiral biaryl axis)
RN 219621-57-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 2'-(aminomethyl)-6,6'-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

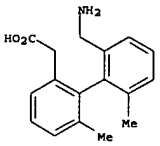


IT **219690-43-4P 219690-44-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of aminomethylbiphenylcarboxylic acids with chiral biaryl axis)
RN 219690-43-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 2'-(aminomethyl)-6,6'-dimethyl-, (1R)- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

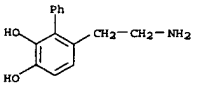


RN 219690-44-5 CAPLUS
CN [1,1'-Biphenyl]-2-acetic acid, 2'-(aminomethyl)-6,6'-dimethyl-, (1S)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

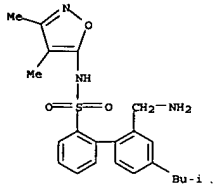
L4 ANSWER 40 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:763633 CAPLUS
DOCUMENT NUMBER: 130:134256
TITLE: Modeling of dopamine D2 receptor and its agonist DOCK analyses
AUTHOR(S): Zhu, Qi-Qing; Guo, Zong-Ru
CORPORATE SOURCE: Institute of Materia Medica, Chinese Academy of Medical Sciences, Peking Union Medical College, Beijing, 100050, Peop. Rep. China
SOURCE: Journal of Chinese Pharmaceutical Sciences (1998), 7(3), 115-120
CODEN: JCHSE4; ISSN: 1003-1057
PUBLISHER: Beijing Medical University, School of Pharmaceutical Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A model of transmembrane helixes of dopamine D2 receptor was constructed using the X-ray coordinates of bacteriorhodopsin (BR) as a template. Based on the results from the model and the site-directed mutagenesis experience, the binding pocket, including nine amino acid residues beside indispensable Asp86, Ser141 and Ser144 residues, was defined. In order to testify the 3D-structure of dopamine D2 receptor and specially test the binding sites, two sets of D2 receptor agonists (one was rigid and the other flexible) were selected for docking. A good result of correlation between -logIC50 and binding energy Eb indicates that the predicted model is reliable for the investigation of the receptor-ligand interaction and design of new active mols.
IT **53622-74-5**
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(modeling of dopamine D2 receptor and its agonist DOCK analyses)
RN 53622-74-5 CAPLUS
CN [1,1'-Biphenyl]-2,3-diol, 6-(2-aminoethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 41 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:749735 CAPLUS
DOCUMENT NUMBER: 130:139274
TITLE: Biphenylsulfonamide Endothelin Antagonists: Structure-Activity Relationships of a Series of Mono- and Disubstituted Analogs and Pharmacology of the Orally Active Endothelin Antagonist 2'-Amino-N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-methylpropyl)[1,1'-biphenyl]-2-sulfonamide (BMS-187308)
AUTHOR(S): Murugesan, Natesan; Gu, Zhengxiang; Stein, Philip D.; Bisaha, Sharon; Spergel, Steve; Girotra, Ravi; Lee, Ving G.; Lloyd, John; Misra, Raj N.; Schmidt, Joan; Mathur, Arvind; Stratton, Leslie; Kelly, Yolanda F.; Bird, Eileen; Waldron, Tom; Liu, Eddie C.-K.; Zhang, Rongan; Lee, Helen; Serafino, Randy; Abboa-Offei, Benoni; Mathers, Parker; Giancarli, Mary; Seymour, Andrea Ann; Webb, Maria L.; Moreland, Suzanne; Barrish, Joel C.; Hunt, John T.
CORPORATE SOURCE: Departments of Chemistry Cardiovascular Agents Cardiovascular Biochemistry and Pharmacology, Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(26), 5198-5218
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Substitution at the ortho position of N-(3,4-dimethyl-5-isoxazolyl)benzenesulfonamide led to the identification of the biphenylsulfonamides as a novel series of endothelin-A (ETA) selective antagonists. Appropriate substitution on the pendant Ph ring led to improved binding as well as functional activity. A hydrophobic group such as iso-Bu or isopropoxy was found to be optimal at the 4'-position. Introduction of an amino group at the 2'-position also led to improved analogs. Combination of the optimal 4'-iso-Bu substituent with the 2'-amino function afforded an analog (BMS-187308) with improved ETA binding affinity and functional activity. BMS-187308 also has good oral activity in inhibiting the pressor effect caused by an ET-1 infusion in rats. Doses of 10 and 30 .mu.mol/kg i.v. BMS-187308 attenuated the pressor responses due to the administration of exogenous ET-1 to conscious monkeys, indicating that the compd. inhibits the in vivo activity of endothelin-1 in nonhuman primates.
IT **189761-64-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of biphenylsulfonamides and their activity as endothelin antagonists and their structure-activity relationship)
RN 189761-64-6 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, 2'-(aminomethyl)-N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-methylpropyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

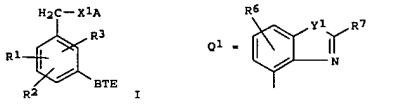


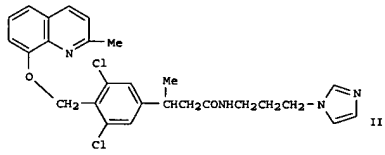
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 42 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:672519 CAPLUS
DOCUMENT NUMBER: 129:275844
TITLE: Preparation of quinoline derivatives as bradykinin antagonists
INVENTOR(S): Hagihara, Koji; Yanase, Masashi; Suzuki, Koji; Nosaka,
Chihiro; Ichimura, Michio; Murakami, Hiromi; Horiguchi, Akira
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 134 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9842672	A1	19981001	WO 1998-JP1265	19980324
W: AU, BG, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9864220	A1	19981020	AU 1998-64220	19980324
PRIORITY APPLN. INFO.:			JP 1997-69565	19970324
			WO 1998-JP1265	19980324

OTHER SOURCE(S): MARPAT 129:275844
GI



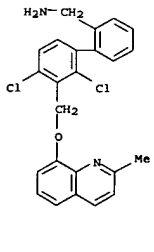


L4 ANSWER 42 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

AB The title compds. I [A = Q1; Y1 in A represents CH:CH or NR8 (in which R8 is hydrogen or lower alkyl); R6 = H, alkyl, etc.; R7 = H, alkyl; X1 represents O, S or NR9 (in which R9 is hydrogen or lower alkyl); B represents Z1CX2CHZ2, etc.; X2 represents substituted or unsubstituted aryl or the like; Z1 and Z2 each represents hydrogen or Z1 and Z2 form a bond together; R1, R2 and R3 may be the same or different from one another and each represents hydrogen, lower alkyl, hydroxyl or the like; T = (CW1W2)m; W1 and W2 each represents hydrogen or represent O together or W1 and W2 represent N together with E; m represents an integer of 0 to 2; a proviso is given; and E represents N together with W1 and W2 or E represents hydrogen, OR21 (in which R21 is hydrogen, lower alkyl or the like), etc.] are prepd. The title compd. II at 1 x 10-5 M gave 98% inhibition of [3H]-bradykinin binding at its receptor.

IT **213757-23-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinoline derivs. as bradykinin antagonists)

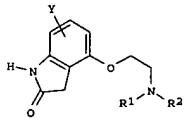
RN 213757-23-4 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine, 2',4'-dichloro-3'-[[(2-methyl-8-quinolinyl)oxy]methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 43 OF 111 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:650043 CAPLUS
DOCUMENT NUMBER: 129:275834
TITLE: Preparation of 4-aminoethoxyindolones as inhibitors of dopamine synthesis and release
INVENTOR(S): Mewshaw, Richard Eric
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5817690	A	19981006	US 1997-909800	19970812

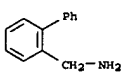
OTHER SOURCE(S): MARPAT 129:275834
GI



AB The title compds. [I; Y = H, halo, C1-6 alkoxy; R1 = H, C1-6 alkyl, C7-12 arylalkyl; R2 = H, C1-6 alkyl, (CH2)nXpAr (wherein X = O, C(O); Ar = C5-7 cycloalkyl, C6-12 aryl, C6-12 haloaryl, etc.; n = 1-6; p = 0-1); NR1R2 = 3,4-dihydro-1H-isoquinolinyl, 1,3-dihydro-isoindolyl] and their pharmaceutically acceptable salts, useful in the treatment of schizophrenia, Parkinson's disease, Tourette's syndrome, alc. addiction, cocaine addiction, and addiction to analogous drugs, were prepd. Thus, treatment of N-benzyl-N-[2-(3-chloro-1H-indol-4-yloxy)ethyl]carbamic acid tert-Bu ester with 85% H3PO4 in methoxyethanol afforded 86% I [Y = H; R1 = PhCH2; R2 = H] which showed IC50 of 0.41 nM against D2 receptor binding (Quin.).

IT **1924-77-2**, [1,1']-Biphenyl-2-methanamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 4-aminoethoxyindolones as inhibitors of dopamine synthesis and release)

RN 1924-77-2 CAPLUS
CN [1,1'-Biphenyl]-2-methanamine (9CI) (CA INDEX NAME)



L4 ANSWER 43 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

L4 ANSWER 44 OF 111 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:641037 CAPLUS
DOCUMENT NUMBER: 130:13909
TITLE: A novel class of orally active non-peptide bradykinin B2 receptor antagonists. 4. Discovery of novel frameworks mimicking the active conformation
AUTHOR(S): Abe, Yoshito; Kayakiri, Hiroshi; Satoh, Shigeki; Inoue, Takayuki; Sawada, Yuki; Inamura, Noriaki; Asano, Masayuki; Aramori, Ichiro; Hatori, Chie; Sawai, Hiroe; Oku, Teruo; Tanaka, Hirokazu
CORPORATE SOURCE: Exploratory Research Laboratories, Fujisawa Pharmaceutical Ltd., Tsukuba, 300-2698, Japan
SOURCE: Journal of Medicinal Chemistry (1998), 41(23), 4587-4598
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

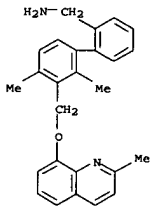
AB A series of 8-[[2,6-dichloro-3-[N-methyl-N-[(E)-(substituted)acryloylglycyl]amino]benzyl]oxy]-2-methylimidazo[1,2-a]pyridines have been identified as the first orally active non-peptide bradykinin (BK) B2 receptor antagonists. Optimization of the terminal glycine part and the imidazo[1,2-a]pyridine moiety led to the discovery of a clin. candidate I (FR173657). The roles of the substituents on the central Ph ring were studied in order to complete the structure-activity relationship (SAR) study. The 2,6-dichloro or 2,6-di-Me groups play important roles in regulating the conformations of the 1- and 3-substituents and also interact with hydrophobic pockets of the B2 receptors. Based on a mol. modeling study, a series of sterically constrained analogs were designed and prepd. by replacing the N-methylamide group with cis-amide-like rigid moieties. Several bioisosteres were discovered and chem. proved that the N-methylamide moiety adopts the cis-amide form in the active conformation. Extensive chem. modification led to a novel class of highly potent and orally active non-peptide B2 antagonists represented by a pyrrole deriv. II (FR193517). II inhibited the specific binding of [3H]BK to recombinant human B2 receptors expressed in Chinese hamster ovary cells and guinea pig ileum membrane prepns. expressing B2 receptors. II also displayed excellent in vivo functional antagonistic activity against BK-induced bronchoconstriction in guinea pigs at 1 mg/kg by oral administration.

IT **215930-28-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and mol. structure-bradykinin B2 receptor antagonist activity relationship of (dichlorobenzyloxy)quinolines)

RN 215930-28-2 CAPLUS

L4 ANSWER 44 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

CN [1,1'-Biphenyl]-2-methanamine, 2',4'-dimethyl-3'-[[(2-methyl-8-quinolinyl)oxy]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

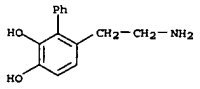
L4 ANSWER 45 OF 111 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:433048 CAPLUS
DOCUMENT NUMBER: 129:254334
TITLE: Study on the 3D-structure prediction of dopamine D2 receptor and its interaction with agonists
AUTHOR(S): Zhu, Qiqing; Guo, Zongru
CORPORATE SOURCE: Institute of Materia Medica, Chinese Academy of Medical Sciences, Beijing, 100050, Peop. Rep. China
SOURCE: Yaoxue Xuebao (1998), 33(3), 201-206
CODEN: YHHPAL; ISSN: 0513-4870
PUBLISHER: Chinese Academy of Medical Sciences, Institute of Materia Media
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

AB A model of dopamine D2 receptor transmembrane helixes was constructed using the bacteriorhodopsin x-ray coordinates as a template. Based on the information from site-directed mutagenesis, the binding pocket, including 9 amino acid residues besides indispensable Asp 86, Ser 141 and Ser 144 residues, were defined. To rectify the 3D structure of dopamine D2 receptor agonists, the 10 rigid dihydrexidine and 14 flexible agonist sets were selected for docking. Anal. of correlation of -log IC50 against binding energy Eb indicated that the predicted model was reliable for the study of receptor ligand interaction and design of new active mols. The results suggest that the model is reliable and applicable.

IT **53622-74-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(study on the 3D-structure prediction of dopamine D2 receptor and its interaction with agonists)

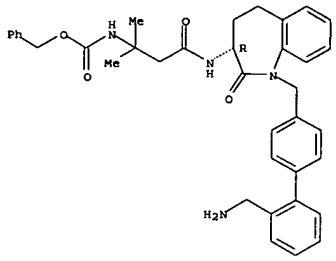
RN 53622-74-5 CAPLUS
CN [1,1'-Biphenyl]-2,3-diol, 6-(2-aminoethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 47 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

CRN 162356-95-8
CMF C37 H40 N4 O4
CDES 1:R

Absolute stereochemistry.



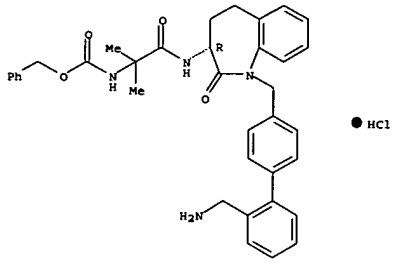
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 195248-07-8 CAPLUS
CN Carbamic acid,
[2-[[(3R)-1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-3-yl]amino]-1,1-dimethyl-2-oxoethyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 47 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

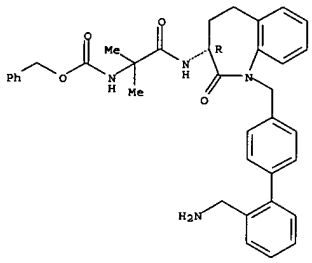


RN 197652-11-2 CAPLUS
CN Carbamic acid,
[2-[[(3R)-1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-3-yl]amino]-1,1-dimethyl-2-oxoethyl]-, phenylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 197652-10-1
CMF C36 H38 N4 O4

Absolute stereochemistry.



L4 ANSWER 47 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)

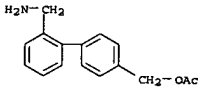
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 197652-38-3 CAPLUS
CN [1,1'-Biphenyl]-4-methanol, 2'-(aminomethyl)-, acetate (ester), trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

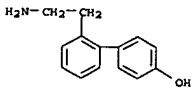
CRN 197652-37-2
CMF C16 H17 N O2



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 209399-98-4 CAPLUS
CN [1,1'-Biphenyl]-4-ol, 2'-(2-aminoethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 47 OF 111 CAPLUS COPYRIGHT 2002 ACS (Continued)